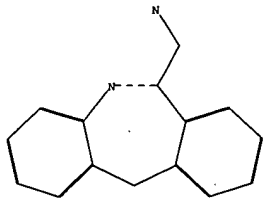
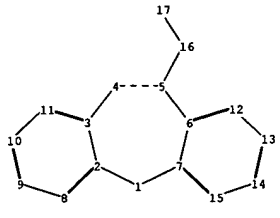
C:\Program Files\Stnexp\Queries\10510008.str





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16
ring nodes :
1 2 3 4 5 6 7 8 9 10 11 12 13 14 15
ring/chain nodes :
17
chain bonds :
5-16 16-17
ring bonds :
1-2 1-7 2-3 2-8 3-4 3-11 4-5 5-6 6-7 6-12 7-15 8-9 9-10 10-11 12-13 13-14 14-15
exact/norm bonds :
1-2 1-7 3-4 4-5 5-6 16-17
exact bonds :
5-16
normalized bonds :
2-3 2-8 3-11 6-7 6-12 7-15 8-9 9-10 10-11 12-13 13-14 14-15

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom 11:Atom 12:Atom 13:Atom 14:Atom 15:Atom 16:CLASS 17:CLASS

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=> d his

     (FILE 'HOME' ENTERED AT 16:05:28 ON 21 OCT 2006)

     FILE 'REGISTRY' ENTERED AT 16:11:00 ON 21 OCT 2006
L1             STRUCTURE UPLOADED
L2           3 S L1
L3          79 S L1 SSS FUL
L4          78 S L3 AND CAPLUS/LC
L5           1 S L3 NOT L4

=> d
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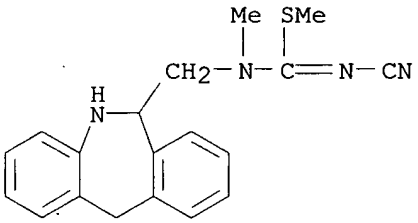
L5 ANSWER 1 OF 1 REGISTRY COPYRIGHT 2006 ACS on STN
RN 746575-89-3 REGISTRY
ED Entered STN: 17 Sep 2004
CN Carbamimidothioic acid, N'-cyano-N-[(6,11-dihydro-5H-dibenz[b,e]azepin-6-yl)methyl]-N-methyl-, methyl ester (9CI) (CA INDEX NAME)
MF C19 H20 N4 S
CI COM
SR CA



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

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     (FILE 'HOME' ENTERED AT 16:05:28 ON 21 OCT 2006)

     FILE 'REGISTRY' ENTERED AT 16:11:00 ON 21 OCT 2006
L1                STRUCTURE UPLOADED
L2              3 S L1
L3             79 S L1 SSS FUL
L4             78 S L3 AND CAPLUS/LC
L5              1 S L3 NOT L4

     FILE 'CAPLUS' ENTERED AT 16:13:27 ON 21 OCT 2006
L6             33 S L3

=> d ibib abs hitstr total
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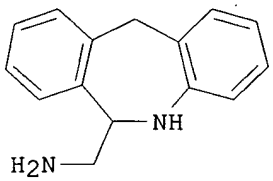
L6 ANSWER 1 OF 33 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2006:760395 CAPLUS
DOCUMENT NUMBER: 145:249115
TITLE: Preparation method of 6-aminomethyl-6,11-dihydro-5h-dibenz[b,e]azepin
INVENTOR(S): Kang, Jae Hun; Kim, Gi Won; Lee, Don Gyu; Seo, Myeong Won
PATENT ASSIGNEE(S): Il Dong Pharm Co., Ltd., S. Korea
SOURCE: Repub. Korean Kongkae Taeho Kongbo, No pp. given
CODEN: KRXXA7
DOCUMENT TYPE: Patent
LANGUAGE: Korean
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
KR 2004072009	A	20040816	KR 2003-7939	20030207

PRIORITY APPLN. INFO.: KR 2003-7939 20030207
GI

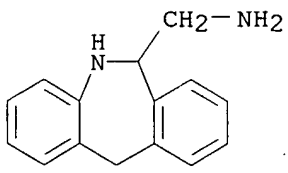


I

AB A method for the preparation of 6-aminomethyl-6,11-dihydro-5H-dibenz[b,e]azepin (I), thereby improving preparation yield and purity, and stably and cheaply preparing the compound under mild condition, so that the compound can be useful as an intermediate for production of medicines such as anti-histamine, is reported. The preparation method of 6-aminomethyl- 6,11-dihydro-5H-dibenz[b,e]azepin comprises hydrogenation in an alc. solvent in the presence of noble metal catalyst and inorg. acid. The noble metal catalyst is selected from palladium carbon, palladium black, palladium, platinum, platinum carbon, platinum oxide, rhodium, ruthenium and ruthenium carbon. The inorg. acid is selected from hydrochloric acid and sulfuric acid and the solvent is a C1-C4 lower alc.

IT 41218-84-2P
RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)
(preparation method of 6-aminomethyl- 6,11-dihydro-5H-dibenz[b,e]azepin)

RN 41218-84-2 CAPLUS
CN 5H-Dibenz[b,e]azepine-6-methanamine, 6,11-dihydro- (9CI) (CA INDEX NAME)



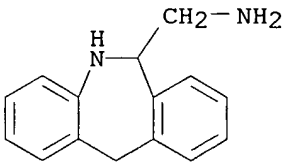
L6 ANSWER 2 OF 33 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2005:72777 CAPLUS
DOCUMENT NUMBER: 142:155838
TITLE: Preparation of 6-aminomethyl-6,11-dihydro-5H-dibenz[b,e]azepine from N-(11H-dibenz[b,e]azepin-6-ylmethyl)-2,2,2-trifluoroacetamide
INVENTOR(S): Sasaki, Ryosuke; Ikeda, Shin; Suzuki, Yoshinobu; Takahashi, Yasuhiro
PATENT ASSIGNEE(S): Konika Chemical Corporation, Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 6 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2005023034	A2	20050127	JP 2003-191388	20030703

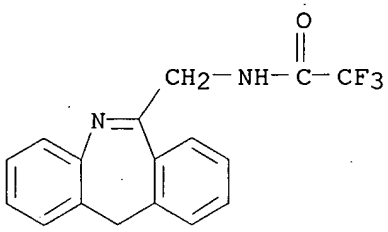
PRIORITY APPLN. INFO.: JP 2003-191388 20030703

AB 6-Aminomethyl-6,11-dihydro-5H-dibenz[b,e]azepine (I), useful as an intermediate for antiallergy and antihistaminic 3-amino-9,13b-dihydro-1H-dibenz[c,f]imidazo[1,5-a]azepine, is prepared from N-(11H-dibenz[b,e]azepin-6-ylmethyl)-2,2,2-trifluoroacetamide (II). Use of II requires no toxic hydrazine and shortens process. Thus, 5.0 g II, prepared from 6-chloromethyl-11H-dibenz[b,e]azepine and CF3CONH2, was was reacted with NaBH4 in EtOH at room temperature for 2 h to give 2.8 g I.

IT 41218-84-2P
RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)
(preparation of (aminomethyl)dihydrodibenzazepine by reductive deacetylation of N-(dibenzazepinylmethyl)trifluoroacetamide)
RN 41218-84-2 CAPLUS
CN 5H-Dibenz[b,e]azepine-6-methanamine, 6,11-dihydro- (9CI) (CA INDEX NAME)



IT 828939-27-1
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of (aminomethyl)dihydrodibenzazepine by reductive deacetylation of N-(dibenzazepinylmethyl)trifluoroacetamide)
RN 828939-27-1 CAPLUS
CN Acetamide, N-(11H-dibenz[b,e]azepin-6-ylmethyl)-2,2,2-trifluoro- (9CI) (CA INDEX NAME)


O
CH2—NH—C—CF3
N

L6 ANSWER 3 OF 33 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2004:926567 CAPLUS
DOCUMENT NUMBER: 142:134594
TITLE: Method for preparation of epinastine and pharmaceutically acceptable salt thereof
INVENTOR(S): Hong, Du Pyo; Oh, Seong Su; Shin, Pil Su
PATENT ASSIGNEE(S): Bionast Co., Ltd., S. Korea
SOURCE: Repub. Korean Kongkae Taeho Kongbo, No pp. given
CODEN: KRXXA7
DOCUMENT TYPE: Patent
LANGUAGE: Korean
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

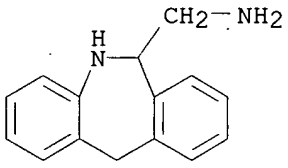
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
KR 2002091539	A	20021206	KR 2001-30304	20010531

PRIORITY APPLN. INFO.: KR 2001-30304 20010531

AB Provided is a method for the preparation of epinastine which treats and prevents ache dolor pain and migraine headache, and its pharmaceutically acceptable salt. The method for the preparation of epinastine of the formula(I) is characterized by comprising the step of carrying out the reaction of 6-aminomethyl-6,11-dihydro-5H-dibenz[b,e]azepine of the formula(II) to cyanamid of the formula(III) or potassium cyanate rather than cyanogenbromide, bromine and N-methyl-benzylamine.

IT 41218-84-2
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of epinastine)

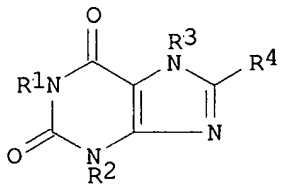
RN 41218-84-2 CAPLUS
CN 5H-Dibenz[b,e]azepine-6-methanamine, 6,11-dihydro- (9CI) (CA INDEX NAME)



L6 ANSWER 4 OF 33 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2004:408271 CAPLUS
DOCUMENT NUMBER: 140:423521
TITLE: Preparation of xanthines as inhibitors of dipeptidyl peptidase IV (DPP-IV)
INVENTOR(S): Himmelsbach, Frank; Langkopf, Elke; Eckhardt, Matthias; Maier, Roland; Mark, Michael; Tadayyon, Mohammad; Lotz, Ralf
PATENT ASSIGNEE(S): Boehringer Ingelheim Pharma G.m.b.H. & Co. K.-G., Germany
SOURCE: Ger. Offen., 39 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 10251927	A1	20040519	DE 2002-10251927	20021108
US 2004138214	A1	20040715	US 2003-695597	20031028
CA 2505389	AA	20040521	CA 2003-2505389	20031103
WO 2004041820	A1	20040521	WO 2003-EP12198	20031103
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2003293649	A1	20040607	AU 2003-293649	20031103
EP 1562946	A1	20050817	EP 2003-788995	20031103
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
JP 2006512311	T2	20060413	JP 2004-548847	20031103
PRIORITY APPLN. INFO.:			DE 2002-10251927 A	20021108
			US 2002-429173P P	20021126
			WO 2003-EP12198 W	20031103

OTHER SOURCE(S): MARPAT 140:423521
GI



I

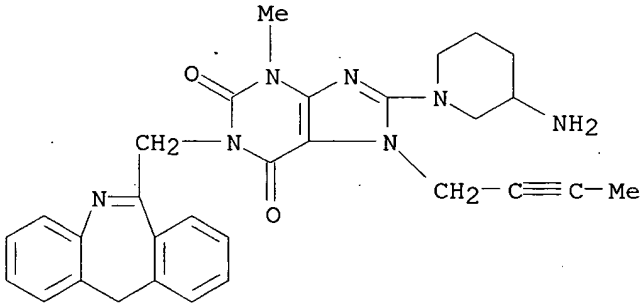
AB Title compds. [I; R1 = (condensed heterocyclyl-substituted) C1-3 alkyl,

etc.; R2 = H, alkyl, alkenyl, alkynyl, cycloalkyl, etc.; R3 = (substituted) alkyl, aryl, alkenyl, alkynyl, etc.; R4 = (substituted) azetidin-1-yl, pyrrolidin-1-yl, piperidin-1-yl, hexahydroazepin-1-yl, etc.] and tautomerics, stereoisomerics, mixts., prodrug, and salts thereof, were prepared Thus, 1-[(1-methyl-2,2-dioxo-1H-benzo[c][1,2]thiazin-4-yl)methyl]-3-methyl-7-(3-methyl-2-buten-1-yl)-8-[3-(tert-butyloxycarbonylamino)piperidin-1-yl]xanthine (preparation given) in CH2Cl2 was treated with isopropanolic HCl followed by stirring for 3 h at room temperature to give 77% 1-[(1-methyl-2,2-dioxo-1H-benzo[c][1,2]thiazin-4-yl)methyl]-3-methyl-7-(3-methyl-2-buten-1-yl)-8-(3-aminopiperidin-1-yl)xanthine. The latter inhibited DPP-IV with IC50 = 13 nM.

IT 690996-72-6P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of xanthines as inhibitors of dipeptidyl peptidase IV (DPP-IV))

RN 690996-72-6 CAPLUS

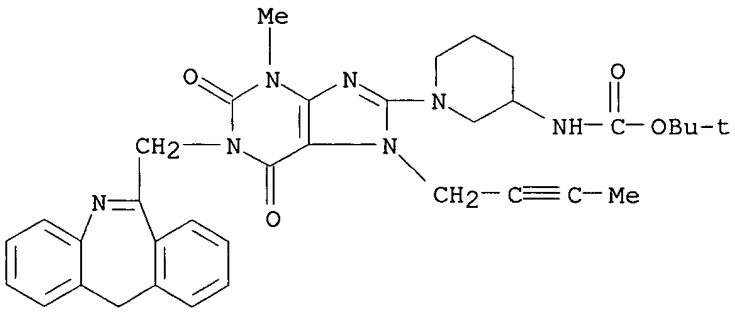
CN 1H-Purine-2,6-dione, 8-(3-amino-1-piperidinyl)-7-(2-butynyl)-1-(11H-dibenz[b,e]azepin-6-ylmethyl)-3,7-dihydro-3-methyl- (9CI) (CA INDEX NAME)



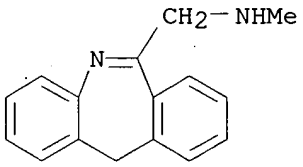
IT 690996-56-6P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of xanthines as inhibitors of dipeptidyl peptidase IV (DPP-IV))

RN 690996-56-6 CAPLUS

CN Carbamic acid, [1-[7-(2-butynyl)-1-(11H-dibenz[b,e]azepin-6-ylmethyl)-2,3,6,7-tetrahydro-3-methyl-2,6-dioxo-1H-purin-8-yl]-3-piperidinyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



L6 ANSWER 5 OF 33 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2004:202758 CAPLUS
DOCUMENT NUMBER: 142:176618
TITLE: Product subclass 6: benzazepines and their group 15 analogues
AUTHOR(S): Meigh, J.-P. K.
CORPORATE SOURCE: Germany
SOURCE: Science of Synthesis (2004), 17, 825-927
CODEN: SSCYJ9
PUBLISHER: Georg Thieme Verlag
DOCUMENT TYPE: Journal; General Review
LANGUAGE: English
AB A review. Methods for preparing benzazepines and their Group 15 analogs are reviewed including cyclization, ring transformation, aromatization and substituent modification.
IT 46880-91-5P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of benzazepine and their Group 15 analogs via cyclization, ring transformation, aromatization and substituent modification)
RN 46880-91-5 CAPLUS
CN 11H-Dibenz[b,e]azepine-6-methanamine, N-methyl- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 234 THERE ARE 234 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 6 OF 33 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2004:139103 CAPLUS
DOCUMENT NUMBER: 140:181339
TITLE: Preparation of 6-aminomethyl-6,11-dihydro-5H-dibenzo[b,e]azepine as intermediate for epinastine hydrochloride
INVENTOR(S): Kawahara, Hiroshi; Mori, Masahiko; Hirai, Yasuo; Uchiyama, Yoshitaka
PATENT ASSIGNEE(S): Daito Corporation, Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 9 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2004051585	A2	20040219	JP 2002-213441	20020723

PRIORITY APPLN. INFO.: JP 2002-213441 20020723

AB Title dibenzazepine derivative (I) is prepared by reduction of 6-succinimidomethyl-5H-dibenzo[b,e]azepine (II) with metal hydrides, followed by hydrolysis of the resulting 6-succinimidomethyl-6,11-dihydro-5H-dibenzo[b,e]azepine (III) with alkali metal hydroxide. Thus, hydrogenation of II by Na triacetoxyborohydride in presence of AcOH gave 91.5% III, which was hydrolyzed in aqueous NaOH at 120-130° for 8 h to afford 90% I.

IT 80012-79-9P 339163-79-0P
RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of (aminomethyl)dihydrodibenzazepine as intermediate for epinastine HCl from (succinimidomethyl)dibenzazepine)

RN 80012-79-9 CAPLUS
CN 5H-Dibenz[b,e]azepine-6-methanamine, 6,11-dihydro-, (2E)-2-butenedioate (9CI) (CA INDEX NAME)

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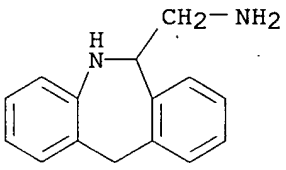
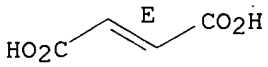
CRN 41218-84-2
CMF C15 H16 N2



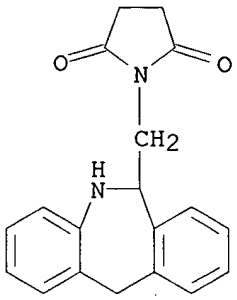
CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



RN 339163-79-0 CAPLUS
CN 2,5-Pyrrolidinedione, 1-[(6,11-dihydro-5H-dibenz[b,e]azepin-6-yl)methyl]- (9CI) (CA INDEX NAME)



L6 ANSWER 7 OF 33 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2003:883057 CAPLUS
DOCUMENT NUMBER: 139:364845
TITLE: Preparation of 6-aminomethyl-6,11-dihydro-5H-dibenzo[b,e]azepine as intermediate for antiallergic epinastine hydrochloride
INVENTOR(S): Matsumori, Yuki; Maekawa, Shigeharu
PATENT ASSIGNEE(S): Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 7 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

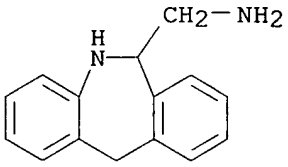
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2003321454	A2	20031111	JP 2002-133606	20020509

PRIORITY APPLN. INFO.: JP 2002-133606 20020509

AB The title compound (I) is prepared by treatment of 6-chloromethyl-5H-dibenzo[b,e]azepine (II) with 4-nitrophthalimide (III), reduction of the resulting 6-(4-nitrophthalimidomethyl)-5H-dibenzo[b,e]azepine (IV) with NaBH4 or NaBH(OAc)3, and hydrazinolysis of the resulting 6-(4-nitrophthalimidomethyl)-6,11-dihydro-5H-dibenzo[b,e]azepine (V). Thus, refluxing II with III, K2CO3, and KI in MeCN gave 95% IV, which was treated with a mixture of NaBH4 and AcOH at ≤30° under stirring for 2 h to give 96% V. Decomposition of with H2NNH2.H2O in ethylene glycol at 110° for 2 h and the crude product was treated with fumaric acid to give 90% I fumarate. Preparation of epinastine hydrochloride by cyclocondensation of V with BrCN and salt formation with HCl was also shown.

IT 41218-84-2P 127785-96-0P 622402-85-1P
622402-86-2P
RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of 6-aminomethyl-6,11-dihydro-5H-dibenzo[b,e]azepine as intermediate for antiallergic epinastine hydrochloride)

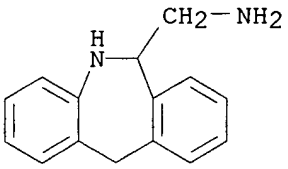
RN 41218-84-2 CAPLUS
CN 5H-Dibenz[b,e]azepine-6-methanamine, 6,11-dihydro- (9CI) (CA INDEX NAME)



RN 127785-96-0 CAPLUS
CN 5H-Dibenz[b,e]azepine-6-methanamine, 6,11-dihydro-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

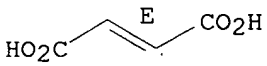
CRN 41218-84-2
CMF C15 H16 N2



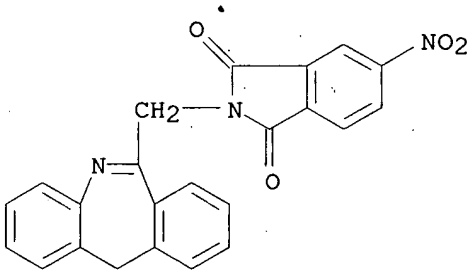
CM 2

CRN 110-17-8
CMF C4 H4 O4

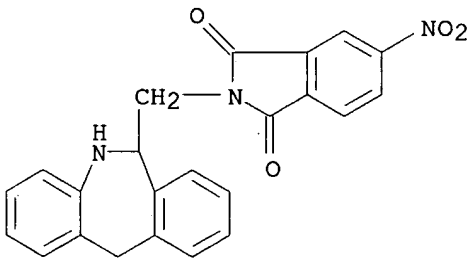
Double bond geometry as shown.



RN 622402-85-1 CAPLUS
CN 1H-Isoindole-1,3(2H)-dione, 2-(11H-dibenz[b,e]azepin-6-ylmethyl)-5-nitro- (9CI) (CA INDEX NAME)

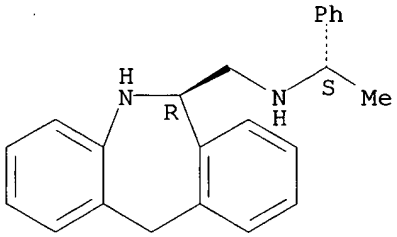


RN 622402-86-2 CAPLUS
CN 1H-Isoindole-1,3(2H)-dione, 2-[(6,11-dihydro-5H-dibenz[b,e]azepin-6-yl)methyl]-5-nitro- (9CI) (CA INDEX NAME)



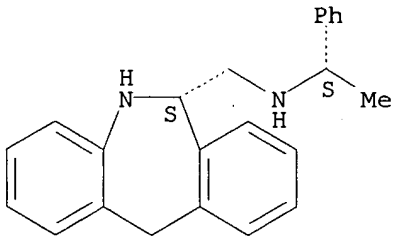
L6 ANSWER 8 OF 33 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2003:841781 CAPLUS
DOCUMENT NUMBER: 140:94009
TITLE: Stereoselective synthesis of (R)-(-)-mianserin
AUTHOR(S): Pawlowska, J.; Czarnocki, Z.; Wojtasiewicz, K.; Maurin, J. K.
CORPORATE SOURCE: Faculty of Chemistry, Warsaw University, Warsaw, 02-093, Pol.
SOURCE: Tetrahedron: Asymmetry (2003), 14(21), 3335-3342
CODEN: TASYE3; ISSN: 0957-4166
PUBLISHER: Elsevier Science B.V.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 140:94009
AB (14BR)-2-Methyl-1,2,3,4,10,14b-hexahydrodibenzo[c,f]pyrazino[1,2-a]azepine, (R)-(-)-mianserin, was synthesized in several steps in good enantiomeric purity with the use of (S)-(-)-α-methylbenzylamine. The absolute configuration was assigned on the basis of X-ray data.
IT 642442-04-4P 642442-05-5P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(cyclocondensation of; multistep stereoselective synthesis of enantiomerically pure mianserin)
RN 642442-04-4 CAPLUS
CN 5H-Dibenz[b,e]azepine-6-methanamine, 6,11-dihydro-N-[(1S)-1-phenylethyl]-, (6R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



RN 642442-05-5 CAPLUS
CN 5H-Dibenz[b,e]azepine-6-methanamine, 6,11-dihydro-N-[(1S)-1-phenylethyl]-, (6S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



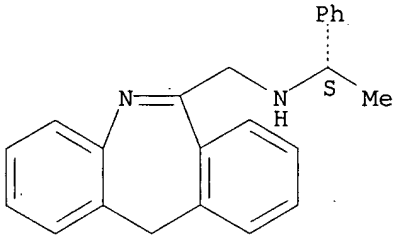
IT 642442-03-3P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(reduction of; multistep stereoselective synthesis of enantiomerically pure mianserin)

RN 642442-03-3 CAPLUS

CN 11H-Dibenz[b,e]azepine-6-methanamine, N-[(1S)-1-phenylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 21 THERE ARE 21 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

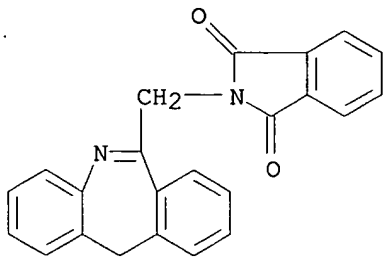
L6 ANSWER 9 OF 33 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2003:818400 CAPLUS
DOCUMENT NUMBER: 139:292167
TITLE: Method for preparing 6-aminomethyl-6,11-dihydro-5h-dibenz[b,e]azepine
INVENTOR(S): Ikeda, Shin; Takahashi, Yasuhiro
PATENT ASSIGNEE(S): Konica Chemical Corporation, Japan
SOURCE: PCT Int. Appl., 12 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003084932	A1	20031016	WO 2002-JP3602	20020411
W: BR, CN, IN, KR, MX, US				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR				
EP 1496051	A1	20050112	EP 2002-714572	20020411
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
CN 1625551	A	20050608	CN 2002-828864	20020411
US 2005209215	A1	20050922	US 2004-510008	20040930
PRIORITY APPLN. INFO.:			WO 2002-JP3602 W	20020411

AB The patent relates to the preparation of 6-aminomethyl-6,11-dihydro-5H-dibenz[b,e]azepine, characterized in that it comprises reducing 2-(11H-dibenz[b,e]azepine-6-ylmethyl)-1H-isoindole-1,3(2H)-dione with a metal hydride or a metal hydrogen complex compound in an aqueous alc. solvent, to form N-[(6,11-dihydro-5H-dibenz[b,e]azepine-6-yl)methyl]-o-hydroxymethylbenzamide; and 6-aminomethyl-6,11-dihydro-5h-dibenz[b,e]azepine. Thus, N-[(6,11-dihydro-5H-dibenz[b,e]azepine-6-yl)methyl]-o-hydroxymethylbenzamide was prepared by reduction of 2-(11H-dibenz[b,e]azepine-6-ylmethyl)-1H-isoindole-1,3(2H)-dione with sodium borohydride in isopropanol at 30°.

IT 74860-00-7
RL: RCT (Reactant); RACT (Reactant or reagent)
(in preparation of hydroxymethylbenzamide azepine derivative)

RN 74860-00-7 CAPLUS
CN 1H-Isoindole-1,3(2H)-dione, 2-(11H-dibenz[b,e]azepin-6-ylmethyl)- (9CI) (CA INDEX NAME)

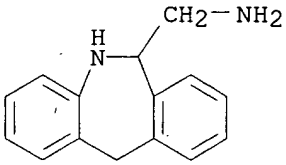


IT 608489-39-0P
RL: SPN (Synthetic preparation); PREP (Preparation)
(in preparation of hydroxymethylbenzamide azepine derivative)

RN 608489-39-0 CAPLUS
CN Formic acid, compd. with 6,11-dihydro-5H-dibenz[b,e]azepine-6-methanamine (9CI) (CA INDEX NAME)

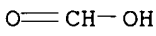
CM 1

CRN 41218-84-2
CMF C15 H16 N2

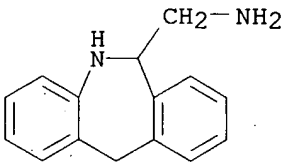


CM 2

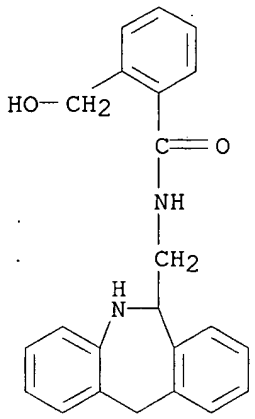
CRN 64-18-6
CMF C H2 O2



IT 41218-84-2P 439288-43-4P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of hydroxymethylbenzamide azepine derivative)
RN 41218-84-2 CAPLUS
CN 5H-Dibenz[b,e]azepine-6-methanamine, 6,11-dihydro- (9CI) (CA INDEX NAME)



RN 439288-43-4 CAPLUS
CN Benzamide, N-[(6,11-dihydro-5H-dibenz[b,e]azepin-6-yl)methyl]-2-(hydroxymethyl)- (9CI) (CA INDEX NAME)

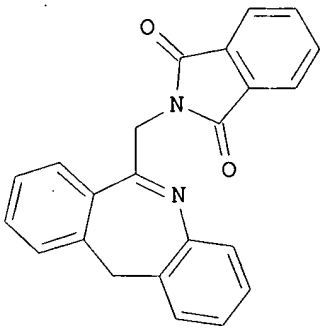


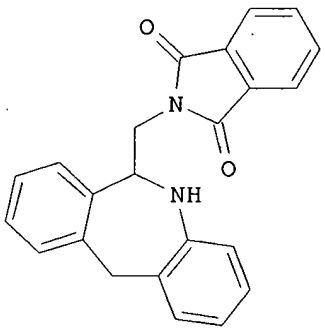
REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 10 OF 33 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2003:20014 CAPLUS
DOCUMENT NUMBER: 138:73185
TITLE: Reduction of 2-(11H-dibenz[b,e]azepin-6-ylmethyl)-1H-isoindole-1,3(2H)-dione to 2-(6,11-dihydro-5H-dibenz[b,e]azepin-6-ylmethyl)-1H-isoindole-1,3(2H)-dione using formic acid and a metallic catalyst.
INVENTOR(S): Leone, Mario
PATENT ASSIGNEE(S): Icrom S.p.A., Italy
SOURCE: Eur. Pat. Appl., 6 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 1273583	A1	20030108	EP 2001-116077	20010703
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
PRIORITY APPLN. INFO.:			EP 2001-116077	20010703

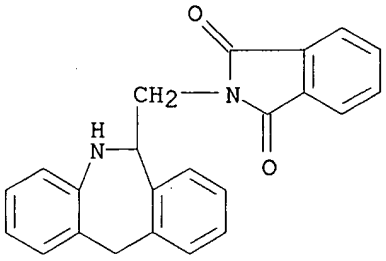
OTHER SOURCE(S): CASREACT 138:73185
GI


I

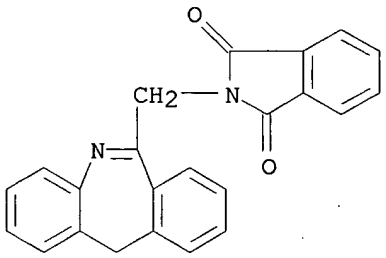

II

AB 2-(11H-dibenz[b,e]azepin-6-ylmethyl)-1H-isoindole-1,3(2H)-dione (I) was reduced to 2-(6,11-dihydro-5H-dibenz[b,e]azepin-6-ylmethyl)-1H-isoindole-1,3(2H)-dione (II) in an organic solvent, in the presence of a group VIIIB metallic catalyst and HCO2H and/or ≥1 pharmaceutically acceptable salt thereof. Thus, I was stirred with HCO2H, NH3, and Pd/C in dimethylacetamide at 80° for 3 h to give 92% II.

IT 143878-20-0P
RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)
(reduction of 2-(11H-dibenz[b,e]azepin-6-ylmethyl)-1H-isoindole-1,3(2H)-dione to 2-(6,11-dihydro-5H-dibenz[b,e]azepin-6-ylmethyl)-1H-isoindole-1,3(2H)-dione using formic acid and a metallic catalyst)
RN 143878-20-0 CAPLUS
CN 1H-Isoindole-1,3(2H)-dione, 2-[(6,11-dihydro-5H-dibenz[b,e]azepin-6-yl)methyl]- (9CI) (CA INDEX NAME)



IT 74860-00-7
RL: RCT (Reactant); RACT (Reactant or reagent)
(reduction of 2-(11H-dibenz[b,e]azepin-6-ylmethyl)-1H-isoindole-1,3(2H)-dione to 2-(6,11-dihydro-5H-dibenz[b,e]azepin-6-ylmethyl)-1H-isoindole-1,3(2H)-dione using formic acid and a metallic catalyst)
RN 74860-00-7 CAPLUS
CN 1H-Isoindole-1,3(2H)-dione, 2-(11H-dibenz[b,e]azepin-6-ylmethyl)- (9CI) (CA INDEX NAME)

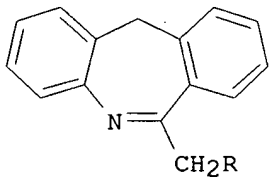


REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 11 OF 33 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2002:802417 CAPLUS
DOCUMENT NUMBER: 137:310828
TITLE: Preparation of 6-aminomethyl-6,11-dihydro-5H-dibenzo[b,e]azepine as intermediate for epinastine hydrochloride, antiallergy agent
INVENTOR(S): Kawahara, Hiroshi; Mori, Masahiko; Hirai, Yasuo
PATENT ASSIGNEE(S): Daito K. K., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 6 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2002308851	A2	20021023	JP 2001-114825	20010413
PRIORITY APPLN. INFO.:			JP 2001-114825	20010413

OTHER SOURCE(S): CASREACT 137:310828
GI

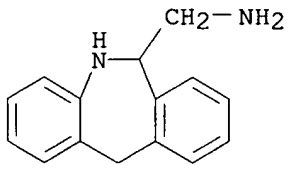


I

AB Title dibenzazepine derivative (I) is prepared from chloromethyl derivative II (R = Cl) via II (R = succinimido) and 6-succinimidomethyl-6,11-dihydro-5H-dibenzo[b,e]azepine (III). Thus, refluxing II (R = Cl) with succinimide, K2CO3, and KI in MeCN gave quant. II (R = succinimido), which was hydrogenated over Pd/C in the presence of HCO2H in DMF under normal pressure to afford 90% III. Decomposition of III with NH2NH2.H2O in ethylene glycol and aqueous NaOH gave 90% I.

IT 41218-84-2P 127785-96-0P 339163-78-9P
339163-79-0P
RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of 6-aminomethyl-6,11-dihydro-5H-dibenzo[b,e]azepine as intermediate for epinastine hydrochloride)

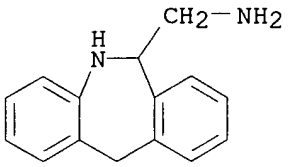
RN 41218-84-2 CAPLUS
CN 5H-Dibenz[b,e]azepine-6-methanamine, 6,11-dihydro- (9CI) (CA INDEX NAME)



RN 127785-96-0 CAPLUS
CN 5H-Dibenz[b,e]azepine-6-methanamine, 6,11-dihydro-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

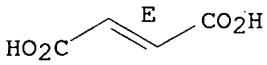
CRN 41218-84-2
CMF C15 H16 N2



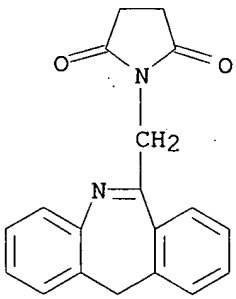
CM 2

CRN 110-17-8
CMF C4 H4 O4

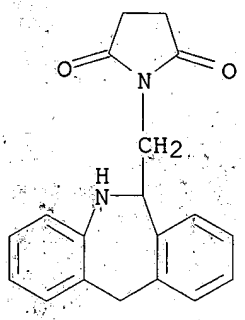
Double bond geometry as shown.



RN 339163-78-9 CAPLUS
CN 2,5-Pyrrolidinedione, 1-(11H-dibenz[b,e]azepin-6-ylmethyl)- (9CI) (CA INDEX NAME)



RN 339163-79-0 CAPLUS
CN 2,5-Pyrrolidinedione, 1-[(6,11-dihydro-5H-dibenz[b,e]azepin-6-yl)methyl]- (9CI) (CA INDEX NAME)


O
N
O
CH2
H
N

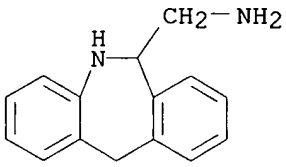
L6 ANSWER 12 OF 33 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2002:514281 CAPLUS
DOCUMENT NUMBER: 137:63183
TITLE: One-pot preparation of 6-aminomethyl-6,11-dihydro-5H-dibenz[b,e]azepine without using hydrazine
INVENTOR(S): Enomoto, Takahiro; Sasaki, Ryosuke; Ikeda, Nobu; Takahashi, Yasuhiro
PATENT ASSIGNEE(S): Konika Chemical Corporation, Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 5 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2002193939	A2	20020710	JP 2000-395744	20001226

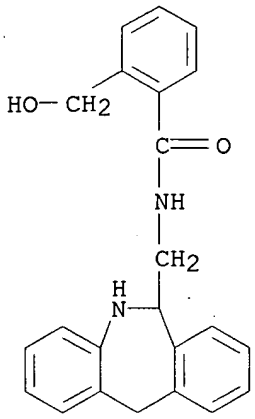
PRIORITY APPLN. INFO.: JP 2000-395744 20001226
OTHER SOURCE(S): CASREACT 137:63183

AB Title compound (I) is prepared by treatment of 6-phthalimidomethyl-5H-dibenz[b,e]azepine (II) with metal hydride (complex) via N-[(6,11-dihydro-5H-dibenz[b,e]azepin-6-yl)methyl]-o-hydroxymethylbenzamide. Thus, II was treated with NaBH4 at room temperature overnight in aqueous isopropanol, treated with AcOH, adjusted to pH 11, extracted with MePh, concentrated, and treated with MeOH solution of fumaric acid to give 69.0% I fumarate.

IT 41218-84-2P 439288-43-4P
RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(one-pot preparation of 6-aminomethyl-6,11-dihydro-5H-dibenz[b,e]azepine)
RN 41218-84-2 CAPLUS
CN 5H-Dibenz[b,e]azepine-6-methanamine, 6,11-dihydro- (9CI) (CA INDEX NAME)



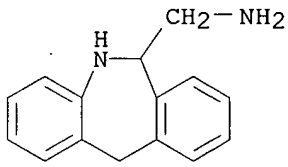
RN 439288-43-4 CAPLUS
CN Benzamide, N-[(6,11-dihydro-5H-dibenz[b,e]azepin-6-yl)methyl]-2-(hydroxymethyl)- (9CI) (CA INDEX NAME)



IT 127785-96-0P
RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)
(one-pot preparation of 6-aminomethyl-6,11-dihydro-5H-dibenz[b,e]azepine)
RN 127785-96-0 CAPLUS
CN 5H-Dibenz[b,e]azepine-6-methanamine, 6,11-dihydro-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

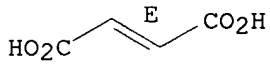
CRN 41218-84-2
CMF C15 H16 N2



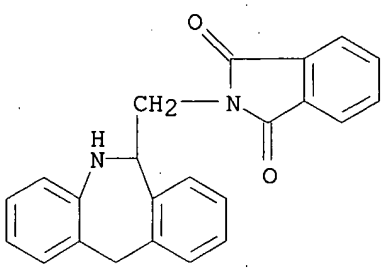
CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



IT 143878-20-0
RL: RCT (Reactant); RACT (Reactant or reagent)
(one-pot preparation of 6-aminomethyl-6,11-dihydro-5H-dibenz[b,e]azepine)
RN 143878-20-0 CAPLUS
CN 1H-Isoindole-1,3(2H)-dione, 2-[(6,11-dihydro-5H-dibenz[b,e]azepin-6-yl)methyl]- (9CI) (CA INDEX NAME)


O
CH2
N
H
N
O

L6 ANSWER 13 OF 33 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2002:513077 CAPLUS
DOCUMENT NUMBER: 137:80614
TITLE: Production method of 6-aminomethyl-6,11-dihydro-5H-dibenz[b,e]azepine
INVENTOR(S): Ikeda, Nobu; Takahashi, Yasuhiro
PATENT ASSIGNEE(S): Konika Chemical Corporation, Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 4 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2002193940	A2	20020710	JP 2000-395753	20001226

PRIORITY APPLN. INFO.: JP 2000-395753 20001226

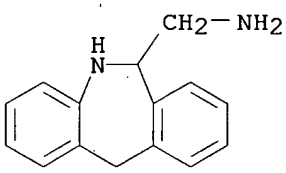
AB The title compound (I) is prepared by hydrogenation of 6-cyano-11H-dibenz[b,e]azepine in a lower fatty acid solvent in the presence of a precious metal catalyst. I is a pharmaceutical intermediate.

IT 127785-96-0P
RL: IMF (Industrial manufacture); PUR (Purification or recovery); SPN (Synthetic preparation); PREP (Preparation)
(hydrogenation of 6-cyano-11H-dibenz[b,e]azepine)

RN 127785-96-0 CAPLUS
CN 5H-Dibenz[b,e]azepine-6-methanamine, 6,11-dihydro-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

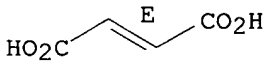
CRN 41218-84-2
CMF C15 H16 N2



CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.

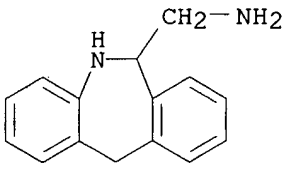


IT 41218-84-2P
RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)

(production method of 6-aminomethyl-6,11-dihydro-5H-dibenz[b,e]azepine as pharmaceutical intermediate)

RN 41218-84-2 CAPLUS

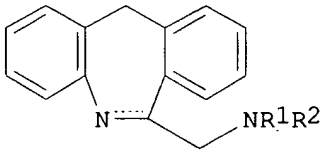
CN 5H-Dibenz[b,e]azepine-6-methanamine, 6,11-dihydro- (9CI) (CA INDEX NAME)



L6 ANSWER 14 OF 33 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2001:843692 CAPLUS
DOCUMENT NUMBER: 135:371654
TITLE: Preparation of 6-aminomethyl-5,6-dihydromorphanthridine
INVENTOR(S): Watanabe, Hiroyuki; Kawanobe, Tsuneo
PATENT ASSIGNEE(S): Hasegawa Koryo Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 7 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2001322982	A2	20011120	JP 2000-140638	20000512

PRIORITY APPLN. INFO.: JP 2000-140638 20000512
OTHER SOURCE(S): CASREACT 135:371654; MARPAT 135:371654
GI

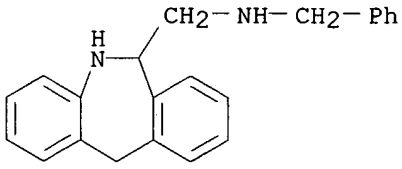


I

AB Title compound is prepared by catalytic hydrogenation of I (R1 = NH2-protecting group; R2 = H, NH2-protecting group; dotted line represents optional bond). Benzylamine was reacted with 6-chloromethylmorphanthridine under ice-cooling for 5 h and hydrogenated with H in the presence of Pd/C in MeOH at 80° under 0.5 MPa for 5 h to give 63% 6-aminomethyl-5,6-dihydromorphanthridine.

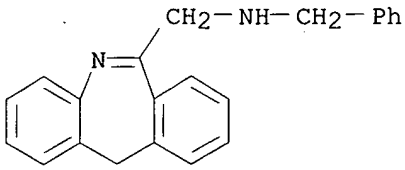
IT 41218-94-4P, 6-(Benzylamino)methyl-5,6-dihydromorphanthridine 374557-57-0P, 6-(Benzylamino)methylmorphanthridine 374557-58-1P, 6-(4-Methoxybenzylamino)methyl-5,6-dihydromorphanthridine 374557-59-2P, 6-(4-Methoxybenzylamino)methylmorphanthridine
RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of aminomethyldihydromorphanthridine)

RN 41218-94-4 CAPLUS
CN 5H-Dibenz[b,e]azepine-6-methanamine, 6,11-dihydro-N-(phenylmethyl)- (9CI) (CA INDEX NAME)

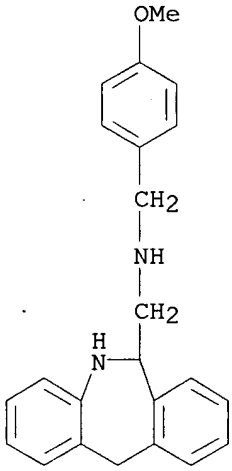


RN 374557-57-0 CAPLUS

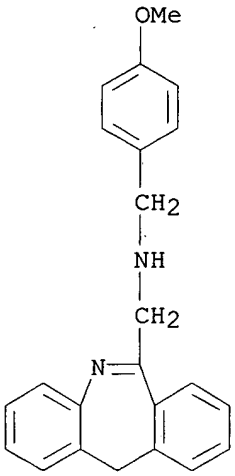
CN 11H-Dibenz[b,e]azepine-6-methanamine, N-(phenylmethyl)- (9CI) (CA INDEX NAME)



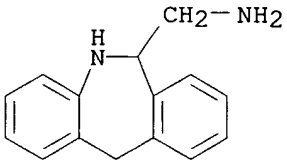
RN 374557-58-1 CAPLUS
CN 5H-Dibenz[b,e]azepine-6-methanamine, 6,11-dihydro-N-[(4-methoxyphenyl)methyl]- (9CI) (CA INDEX NAME)



RN 374557-59-2 CAPLUS
CN 11H-Dibenz[b,e]azepine-6-methanamine, N-[(4-methoxyphenyl)methyl]- (9CI) (CA INDEX NAME)



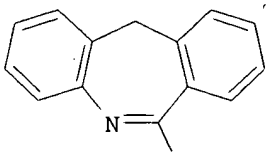
IT 41218-84-2P, 6-Aminomethyl-5,6-dihydromorphanthridine
RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)
(preparation of aminomethyldihydromorphanthridine)
RN 41218-84-2 CAPLUS
CN 5H-Dibenz[b,e]azepine-6-methanamine, 6,11-dihydro- (9CI) (CA INDEX NAME)



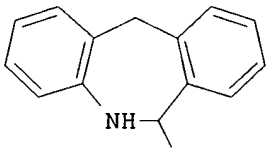
L16 ANSWER 15 OF 33 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2001:347102 CAPLUS
DOCUMENT NUMBER: 134:353305
TITLE: Preparation of dibenz[c,f]imidazo[1,5-a]azepines for antiallergic agents and its intermediates
INVENTOR(S): Shimamura, Hiroshi; Terashima, Koji; Yamashita, Takehiko
PATENT ASSIGNEE(S): Ohara Yakuhin Kogyo K. K., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 6 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2001131177	A2	20010515	JP 1999-317070	19991108
PRIORITY APPLN. INFO.:			JP 1999-317070	19991108

OTHER SOURCE(S): CASREACT 134:353305; MARPAT 134:353305
GI



I



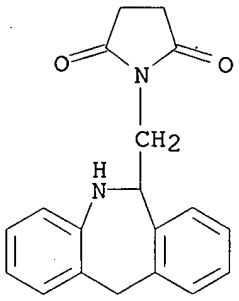
II

AB 3-Amino-9,13b-dihydro-1H-dibenz[c,f]imidazo[1,5-a]azepine hydrohalides, useful for antiallergic agents (no data), are prepared by hydrogenation of dibenzazepines I (Y = imide group), reaction of dihydrodibenzazepines II (Y = imide group) with amines, and reaction of 6-(aminomethyl)-6,11-dihydro-5H-dibenz[b,e]azepine with cyanogen halides. 6-(Succinimidomethyl)-5H-dibenz[b,e]azepine was hydrogenated with H in the presence of Pd/C in DMF at 50° and reacted with ethylenediamine in MeOCH2CH2OH under reflux for 16 h to give 6-(aminomethyl)-6,11-dihydro-5H-dibenz[b,e]azepine, which was cyclized with BrCN in CH2Cl2 at room temperature for 8 h to give 80% 3-amino-9,13b-dihydro-1H-dibenz[c,f]imidazo[1,5-a]azepine hydrobromide.
IT 339163-79-0P 339163-80-3P, 11H-Dibenz[b,e]azepine-6-methanamine
RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic

preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of dibenzimidazoazepines by hydrogenation, amination, and cyclization)

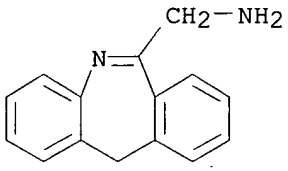
RN 339163-79-0 CAPLUS

CN 2,5-Pyrrolidinedione, 1-[(6,11-dihydro-5H-dibenz[b,e]azepin-6-yl)methyl]- (9CI) (CA INDEX NAME)



RN 339163-80-3 CAPLUS

CN 11H-Dibenz[b,e]azepine-6-methanamine (9CI) (CA INDEX NAME)

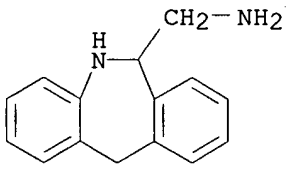


IT 41218-84-2P

RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)
(preparation of dibenzimidazoazepines by hydrogenation, amination, and cyclization)

RN 41218-84-2 CAPLUS

CN 5H-Dibenz[b,e]azepine-6-methanamine, 6,11-dihydro- (9CI) (CA INDEX NAME)

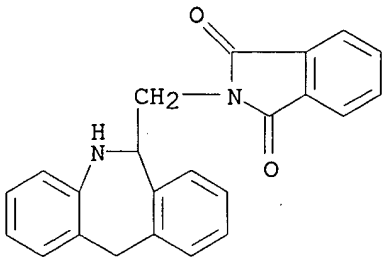


IT 143878-20-0

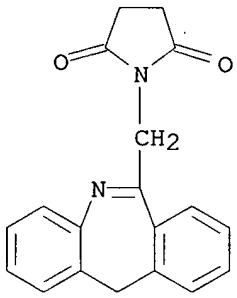
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of dibenzimidazoazepines by hydrogenation, amination, and cyclization)

RN 143878-20-0 CAPLUS

CN 1H-Isoindole-1,3(2H)-dione, 2-[(6,11-dihydro-5H-dibenz[b,e]azepin-6-yl)methyl]- (9CI) (CA INDEX NAME)



IT 339163-78-9P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of dibenzimidazoazepines by hydrogenation, amination, and cyclization)
RN 339163-78-9 CAPLUS
CN 2,5-Pyrrolidinedione, 1-(11H-dibenz[b,e]azepin-6-ylmethyl)- (9CI) (CA INDEX NAME)



L6 ANSWER 16 OF 33 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2001:174091 CAPLUS
DOCUMENT NUMBER: 134:222712
TITLE: Preparation of antiallergic epinastine and imidazoline compounds as their intermediates
INVENTOR(S): Masagaki, Takeshi; Kakita, Takao; Deguchi, Shuhei
PATENT ASSIGNEE(S): Sawai Pharmaceutical Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 15 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2001064282	A2	20010313	JP 1999-236149	19990823
JP 3563643	B2	20040908		

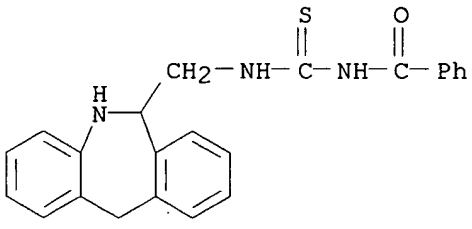
PRIORITY APPLN. INFO.: JP 1999-236149 19990823
OTHER SOURCE(S): CASREACT 134:222712; MARPAT 134:222712
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Condensed (acylamino)imidazoline compds. I (R3 = acyl), useful as intermediates for epinastine, are prepared by intramol. cyclization of II (R3 = same as in I) or III (R3 = same as in I). III may be prepared by treating 6,11-dihydro-5H-dibenzo[b,e]azepine-6-methanamine with R3NCS (R3 = same as in III) in organic solvents. II may be prepared by cyclizing 2-HOCH2C6H4NHCHPhCH2NHCSNHR3 (R3 = same as in II) (IV). IV may be prepared by treating 2-[(2-2-amino-1-phenylethyl)amino]benzenemethanol with R3NCS (R3 = acyl) in organic solvents. Preparation of epinastine from PhCH(OH)CH2NH2 with 7 steps was shown.

IT 329038-65-5P
RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of antiallergic epinastine and imidazoline compds. as their intermediates)

RN 329038-65-5 CAPLUS
CN Benzamide, N-[[[(6,11-dihydro-5H-dibenz[b,e]azepin-6-yl)methyl]amino]thioxomethyl]- (9CI) (CA INDEX NAME)

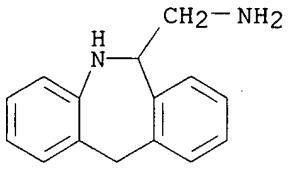


IT 41218-84-2
RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation of antiallergic epinastine and imidazoline compds. as their intermediates)

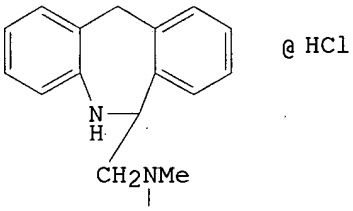
RN 41218-84-2 CAPLUS

CN 5H-Dibenz[b,e]azepine-6-methanamine, 6,11-dihydro- (9CI) (CA INDEX NAME)



L6 ANSWER 17 OF 33 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1992:604883 CAPLUS
DOCUMENT NUMBER: 117:204883
TITLE: 6-[N,S-dimethyl-N'-cyanothioureidomethyl]-6,11-dihydro-5H-dibenzo[b,e]azepine hydrochloride (Fran 12): a histamine and 5-hydroxytryptamine antagonist with pressor properties
AUTHOR(S): Law, S. C.; Guyett, F. J.; King, R. G.; Boura, A. L. A.; Jackson, W. R.; Hodgson, W. C.
CORPORATE SOURCE: Dep. Pharmacol., Monash Univ., Clayton, 3168, Australia
SOURCE: Archives Internationales de Pharmacodynamie et de Therapie (1992), 317, 67-80
CODEN: AIPTAK; ISSN: 0003-9780
DOCUMENT TYPE: Journal
LANGUAGE: English
GI.



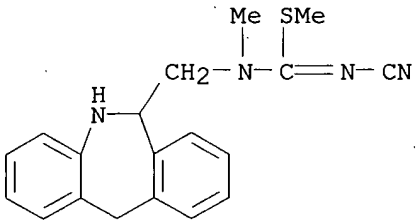
I

AB The authors have synthesized and examined some of the pharmacol. properties of Fran 12 (I), a derivative of 6-methylaminomethyl-6,11-dihydro-5H-dibenz[b,e]azepine. In the guinea-pig isolated ileum, Fran 12 (10-7-10-5 M) caused parallel rightward shifts of the concentration-response curves to histamine. A Schild plot gave a PA2 of 7.48, with a slope not significantly different from -1.0. In the rat stomach fundus strip and in endothelium-denuded aortic rings, Fran 12 inhibited contractile responses to 5-hydroxytryptamine in a non-competitive manner. In both chloralose-anesthetized and pitched rats, it inhibited pressor responses to 5-hydroxytryptamine. It had no effect on depressor responses to 5-hydroxytryptamine in anesthetized rats. It pithed rats, Fran 12 (0.25-2mg/kg, i.v.) produced dose-dependent increases in blood pressure. These were not inhibited by i.v. phentolamine, prazosin, yohimbine, propranolol, methysergide, pentolinium or atropine but were inhibited by verapamil. These results indicate that Fran 12 is a histamine and 6-hydroxytryptamine antagonist which also exerts pressor effects via a peripheral action. The pressor action does not appear to be mediated via effects on α1- or α2-adrenoceptors, muscarinic or nicotinic cholinoceptors or 5-hydroxytryptamine receptors, although calcium channel activation may play a role.

IT 144332-32-1P, Fran 12
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation and pharmacol. activity of)

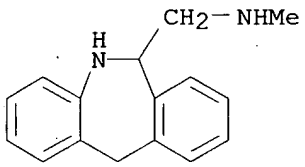
RN 144332-32-1 CAPLUS

CN Carbamimidothioic acid, N'-cyano-N-[(6,11-dihydro-5H-dibenz[b,e]azepin-6-yl)methyl]-N-methyl-, methyl ester, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

IT 21535-45-5
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, with di-Me cyanodithioiminocarbonate)
RN 21535-45-5 CAPLUS
CN 5H-Dibenz[b,e]azepine-6-methanamine, 6,11-dihydro-N-methyl- (9CI) (CA INDEX NAME)



L6 ANSWER 18 OF 33 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1992:591840 CAPLUS
DOCUMENT NUMBER: 117:191840
TITLE: Process for preparation of 3-amino-9,13b-dihydro-1H-dibenz[c,f]imidazo[1,5-a]azepine hydrochloride
INVENTOR(S): Schneider, Heinrich
PATENT ASSIGNEE(S): Boehringer Ingelheim K.-G., Germany; Boehringer Ingelheim International G.m.b.H.
SOURCE: Eur. Pat. Appl., 7 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

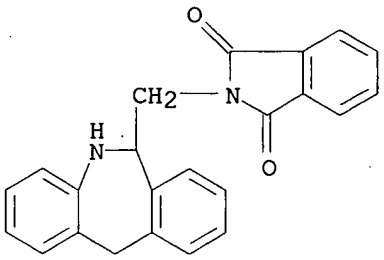
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 496306	A1	19920729	EP 1992-100798	19920118
EP 496306	B1	19950913		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, PT, SE				
DE 4102148	A1	19920730	DE 1991-4102148	19910125
ES 2078559	T3	19951216	ES 1992-100798	19920118
US 5312916	A	19940517	US 1992-824415	19920123
JP 04346988	A2	19921202	JP 1992-10415	19920124
JP 3133448	B2	20010205		
KR 196965	B1	19990615	KR 1992-978	19920124

PRIORITY APPLN. INFO.: DE 1991-4102148 A 19910125

AB The title compound was prepared by a process comprising (a) hydrogenation of 6-phthalimidomethyl-6,11-dihydro-5H-dibenz[b,e]azepine; (b) hydrazinolysis and subsequent cyclization of the product with BrCN; and (c) treatment of the resultant base with HCl. The title compound is prepared in 61.6% overall yield.

IT 143878-20-0P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation, hydrazinolysis, and cyclization of)

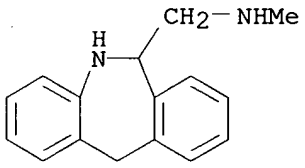
RN 143878-20-0 CAPLUS
CN 1H-Isoindole-1,3(2H)-dione, 2-[(6,11-dihydro-5H-dibenz[b,e]azepin-6-yl)methyl]- (9CI) (CA INDEX NAME)



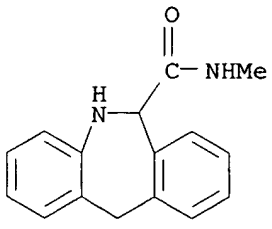
L6 ANSWER 19 OF 33 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1991:632304 CAPLUS
DOCUMENT NUMBER: 115:232304
TITLE: Preparation of mianserin and analogs
INVENTOR(S): Haider, Akhtar; Bollinger, Heinrich; Fischer, Alan
PATENT ASSIGNEE(S): Societe Chimique de Vionnaz S. A. (SOCHINAZ), Switz.
SOURCE: Fr. Demande, 18 pp.
CODEN: FRXXBL
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
FR 2647114	A1	19901123	FR 1990-3115	19900312
CH 678623	A	19911015	CH 1989-1835	19890517
PRIORITY APPLN. INFO.:			CH 1989-1835 A	19890517

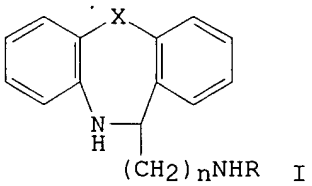
OTHER SOURCE(S): MARPAT 115:232304
GI For diagram(s), see printed CA Issue.
AB The title compds. [I; R1,R2 = H, halo, OH, alkyl, alkoxy, CF3; R3 = H, (ar)alkyl; p, q = 1,2] were prepared Thus, PhCHClCONHMe (preparation given) was condensed with 2-(H2N)C6H4CH2OH and the product cyclized to give dibenzazepine II (R = CONHMe) which was reduced to II (R = CH2NHMe). The latter was cyclocondensed with BrCH2CH2Br to give mianserin.
IT 21535-45-5P 133806-67-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reaction of, in preparation of mianserin)
RN 21535-45-5 CAPLUS
CN 5H-Dibenz[b,e]azepine-6-methanamine, 6,11-dihydro-N-methyl- (9CI) (CA INDEX NAME)



RN 133806-67-4 CAPLUS
CN 5H-Dibenz[b,e]azepine-6-carboxamide, 6,11-dihydro-N-methyl- (9CI) (CA INDEX NAME)



L6 ANSWER 20 OF 33 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1990:417487 CAPLUS
DOCUMENT NUMBER: 113:17487
TITLE: New tetracyclic guanidine derivatives with H1-antihistaminic properties. Chemistry of epinastine
AUTHOR(S): Walther, G.; Daniel, H.; Bechtel, W. D.; Brandt, K.
CORPORATE SOURCE: Dep. Med. Chem., Boehringer Ingelheim KG, Ingelheim/Rhein, D-6507, Germany
SOURCE: Arzneimittel-Forschung (1990), 40(4), 440-6
CODEN: ARZNAD; ISSN: 0004-4172
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 113:17487
GI

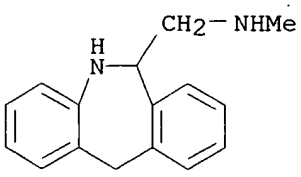


I

AB A series of new tetracyclic guanidines (I, X = O, S, CH2; R = NH2, NHMe, morpholine, etc.; n = 1) were synthesized by various methods. Specific binding of I to histamine-1 and histamine-2 receptors was determined Epinastine, I (X = CH2; R = NH2; n = 1) combines high selectivity with high affinity for the H1 receptor and was selected from I studied for further pharmacol. and clin. investigations. Exptl. determined physicochem. parameters (pKa-value, partition coefficient) and the hydrogen-bonding ability of epinastine are indications that this compound will not easily cross the blood-brain barrier. This explains the absence of CNS side-effects of epinastine in pharmacol. and clin. studies.

IT 21535-45-5
RL: RCT (Reactant); RACT (Reactant or reagent)
(cyclization of)

RN 21535-45-5 CAPLUS
CN 5H-Dibenz[b,e]azepine-6-methanamine, 6,11-dihydro-N-methyl- (9CI) (CA INDEX NAME)

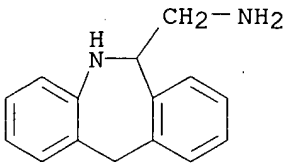


IT 127785-96-0P 127786-00-9P 127786-01-0P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and cyclization of)

RN 127785-96-0 CAPLUS
CN 5H-Dibenz[b,e]azepine-6-methanamine, 6,11-dihydro-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

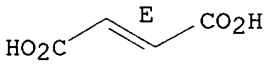
CRN 41218-84-2
CMF C15 H16 N2



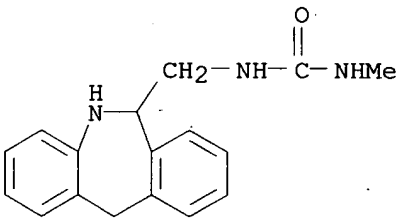
CM 2

CRN 110-17-8
CMF C4 H4 O4

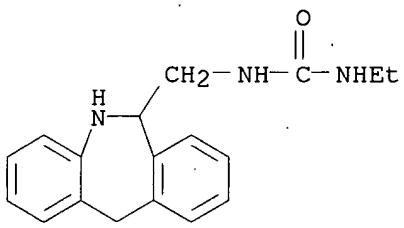
Double bond geometry as shown.



RN 127786-00-9 CAPLUS
CN Urea, N-[(6,11-dihydro-5H-dibenz[b,e]azepin-6-yl)methyl]-N'-methyl- (9CI) (CA INDEX NAME)



RN 127786-01-0 CAPLUS
CN Urea, N-[(6,11-dihydro-5H-dibenz[b,e]azepin-6-yl)methyl]-N'-ethyl- (9CI) (CA INDEX NAME)

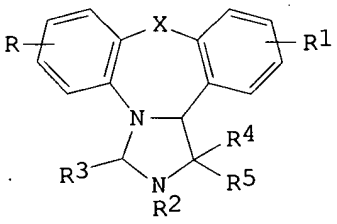

O
CH2 NH C NHEt
H
N

L6 ANSWER 21 OF 33 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1985:45941 CAPLUS
DOCUMENT NUMBER: 102:45941
TITLE: Tetracyclic compounds
INVENTOR(S): Connell, Anthony Christopher
PATENT ASSIGNEE(S): Beecham Group PLC, UK
SOURCE: PCT Int. Appl., 73 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

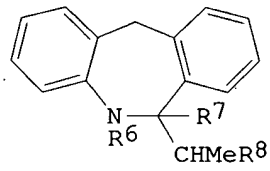
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 8402704	A1	19840719	WO 1983-GB353	19831229
WO 8402704	A3	19840802		
W: AU, GB, JP, US				
RW: BE, CH, DE, FR, GB, NL, SE				
AU 8424163	A1	19840802	AU 1984-24163	19831229
EP 130202	A1	19850109	EP 1984-900292	19831229
R: BE, CH, DE, FR, GB, LI, NL, SE				
JP 60500176	T2	19850207	JP 1984-500471	19831229

PRIORITY APPLN. INFO.: GB 1982-36881 A 19821230
WO 1983-GB353 A 19831229

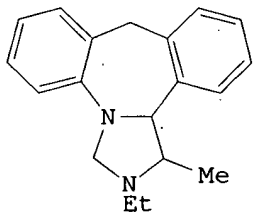
OTHER SOURCE(S): MARPAT 102:45941
GI



I



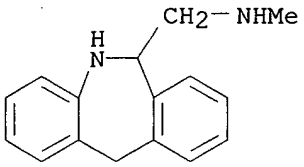
II



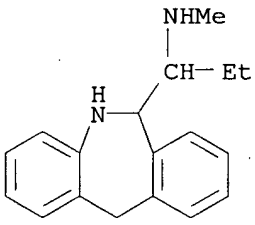
III

AB Antidepressant and anxiolytic dibenzimidazoheterocycles I [R, R1 = H, OH, halo, CF3, alkyl, alkoxy; R2 = alkenyl, alkynyl, cycloalkyl, cycloalkenyl, (un)substituted alkyl; R3-R5 = H, alkyl; X = CH2, O, S, alkylimino] were prepared Thus 2-PhCH2C6H4NH2 was treated with MeCHBrCOCl to give 2-PhCH2C6H4NHCOCHBrMe, which cyclocondensed to form dibenzazepine II (R6R7 = bond, R8 = Br). Amination of the last, followed by reduction using LiAlH4 at -78°, gave 1 diastereomer of II (R6 = R7 = H; R8 = NHEt), which cyclocondensed with H2CO to give dibenzimidazazepine III. III had an ED50 of 1.6 mg/kg orally for inhibition of 5-methoxy-N,N-dimethyltryptamine-induced motions in mice.

IT 21535-45-5
RL: RCT (Reactant); RACT (Reactant or reagent)
(cyclocondensation of, with acetaldehyde, dibenzimidazoazepine by)
RN 21535-45-5 CAPLUS
CN 5H-Dibenz[b,e]azepine-6-methanamine, 6,11-dihydro-N-methyl- (9CI) (CA INDEX NAME)

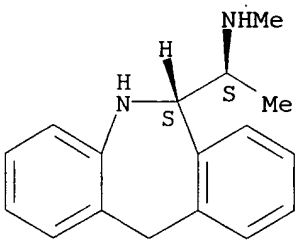


IT 94018-72-1P 94019-10-0P 94019-11-1P
94019-12-2P 94019-13-3P 94019-20-2P
94019-21-3P 94019-22-4P 94019-23-5P
94036-80-3P 94727-55-6P 94727-56-7P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and cyclocondensation of, with formaldehyde, dibenzimidazoazepine by)
RN 94018-72-1 CAPLUS
CN 5H-Dibenz[b,e]azepine-6-methanamine, α-ethyl-6,11-dihydro-N-methyl- (9CI) (CA INDEX NAME)



RN 94019-10-0 CAPLUS
CN 5H-Dibenz[b,e]azepine-6-methanamine, 6,11-dihydro-N,α-dimethyl-, (R*,R*)- (9CI) (CA INDEX NAME)

Relative stereochemistry.

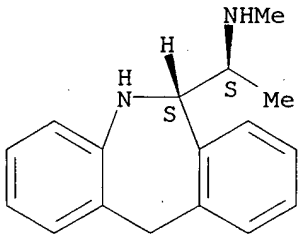


RN 94019-11-1 CAPLUS
CN 5H-Dibenz[b,e]azepine-6-methanamine, 6,11-dihydro-N,α-dimethyl-, (αR,6R)-rel-, (2Z)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 94019-10-0
CMF C17 H20 N2

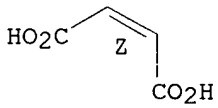
Relative stereochemistry.



CM 2

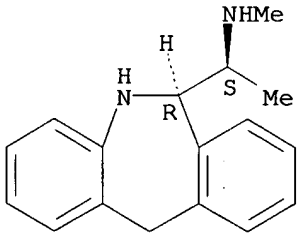
CRN 110-16-7
CMF C4 H4 O4

Double bond geometry as shown.



RN 94019-12-2 CAPLUS
CN 5H-Dibenz[b,e]azepine-6-methanamine, 6,11-dihydro-N,α-dimethyl-, (R*,S*)- (9CI) (CA INDEX NAME)

Relative stereochemistry.

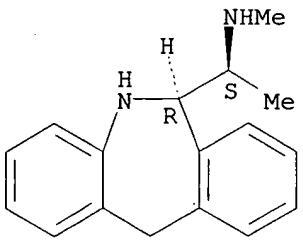


RN 94019-13-3 CAPLUS
CN 5H-Dibenz[b,e]azepine-6-methanamine, 6,11-dihydro-N,α-dimethyl-, (αR,6S)-rel-, (2Z)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 94019-12-2
CMF C17 H20 N2

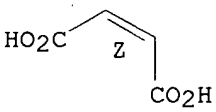
Relative stereochemistry.



CM 2

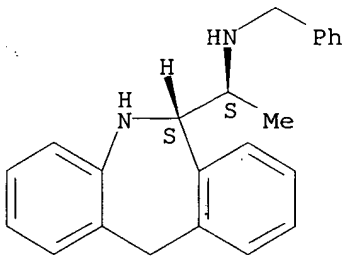
CRN 110-16-7
CMF C4 H4 O4

Double bond geometry as shown.



RN 94019-20-2 CAPLUS
CN 5H-Dibenz[b,e]azepine-6-methanamine, 6,11-dihydro-α-methyl-N-(phenylmethyl)-, (R*,R*)- (9CI) (CA INDEX NAME)

Relative stereochemistry.

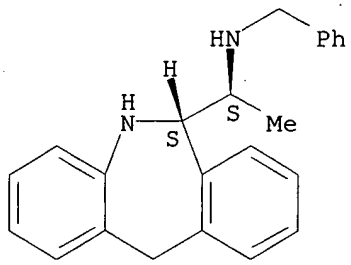


RN 94019-21-3 CAPLUS
CN 5H-Dibenz[b,e]azepine-6-methanamine, 6,11-dihydro-α-methyl-N-(phenylmethyl)-, (αR,6R)-rel-, (2Z)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 94019-20-2
CMF C23 H24 N2

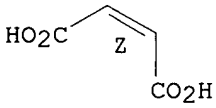
Relative stereochemistry.



CM 2

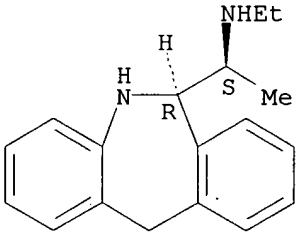
CRN 110-16-7
CMF C4 H4 O4

Double bond geometry as shown.



RN 94019-22-4 CAPLUS
CN 5H-Dibenz[b,e]azepine-6-methanamine, N-ethyl-6,11-dihydro-α-methyl-, (R*,S*)- (9CI) (CA INDEX NAME)

Relative stereochemistry.

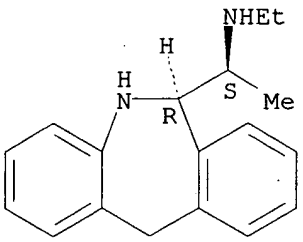


RN 94019-23-5 CAPLUS
CN 5H-Dibenz[b,e]azepine-6-methanamine, N-ethyl-6,11-dihydro-α-methyl-, (αR,6S)-rel-, (2Z)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 94019-22-4
CMF C18 H22 N2

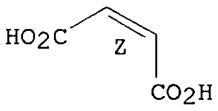
Relative stereochemistry.



CM 2

CRN 110-16-7
CMF C4 H4 O4

Double bond geometry as shown.

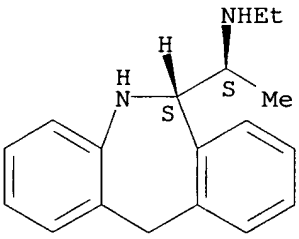


RN 94036-80-3 CAPLUS
CN 5H-Dibenz[b,e]azepine-6-methanamine, N-ethyl-6,11-dihydro-α-methyl-, (αR,6R)-rel-, (2Z)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 94018-73-2
CMF C18 H22 N2

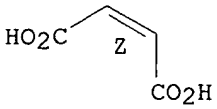
Relative stereochemistry.



CM 2

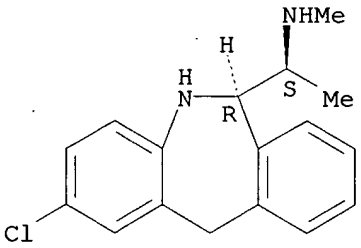
CRN 110-16-7
CMF C4 H4 O4

Double bond geometry as shown.



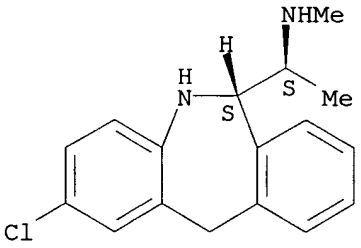
RN 94727-55-6 CAPLUS
CN 5H-Dibenz[b,e]azepine-6-methanamine, 2-chloro-6,11-dihydro-N,α-dimethyl-, (R*,S*)- (9CI) (CA INDEX NAME)

Relative stereochemistry.

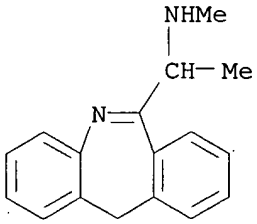


RN 94727-56-7 CAPLUS
CN 5H-Dibenz[b,e]azepine-6-methanamine, 2-chloro-6,11-dihydro-N,α-dimethyl-, (R*,R*)- (9CI) (CA INDEX NAME)

Relative stereochemistry.



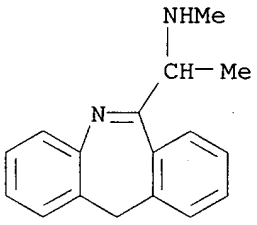
IT 94019-08-6P 94019-09-7P 94019-16-6P
94019-17-7P 94019-18-8P 94019-19-9P
94727-54-5P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reduction of)
RN 94019-08-6 CAPLUS
CN 11H-Dibenz[b,e]azepine-6-methanamine, N,α-dimethyl- (9CI) (CA INDEX NAME)



RN 94019-09-7 CAPLUS
CN 11H-Dibenz[b,e]azepine-6-methanamine, N,α-dimethyl-, (2Z)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

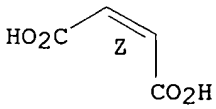
CRN 94019-08-6
CMF C17 H18 N2



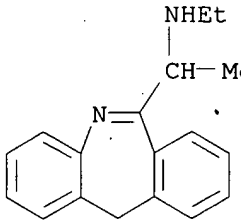
CM 2

CRN 110-16-7
CMF C4 H4 O4

Double bond geometry as shown.



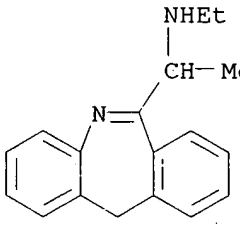
RN 94019-16-6 CAPLUS
CN 11H-Dibenz[b,e]azepine-6-methanamine, N-ethyl-α-methyl- (9CI) (CA INDEX NAME)



RN 94019-17-7 CAPLUS
CN 11H-Dibenz[b,e]azepine-6-methanamine, N-ethyl-α-methyl-, (2Z)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

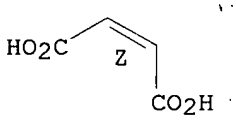
CRN 94019-16-6
CMF C18 H20 N2



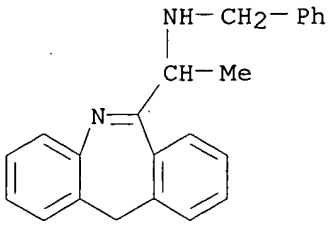
CM 2

CRN 110-16-7
CMF C4 H4 O4

Double bond geometry as shown.



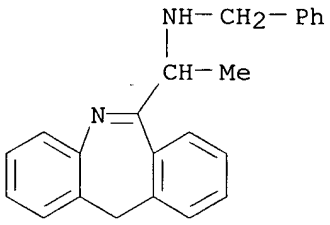
RN 94019-18-8 CAPLUS
CN 11H-Dibenz[b,e]azepine-6-methanamine, α-methyl-N-(phenylmethyl)- (9CI) (CA INDEX NAME)



RN 94019-19-9 CAPLUS
CN 11H-Dibenz[b,e]azepine-6-methanamine, α-methyl-N-(phenylmethyl)-, (2Z)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

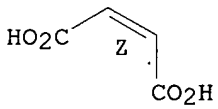
CRN 94019-18-8
CMF C23 H22 N2



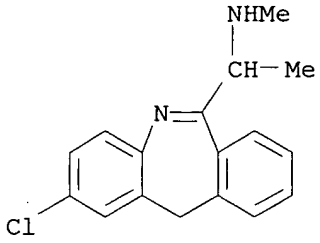
CM 2

CRN 110-16-7
CMF C4 H4 O4

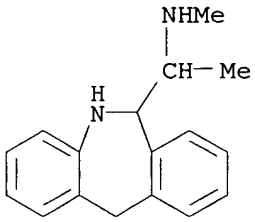
Double bond geometry as shown.



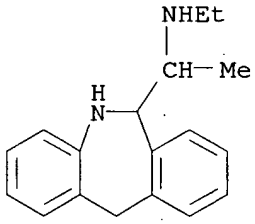
RN 94727-54-5 CAPLUS
CN 11H-Dibenz[b,e]azepine-6-methanamine, 2-chloro-N,α-dimethyl- (9CI) (CA INDEX NAME)



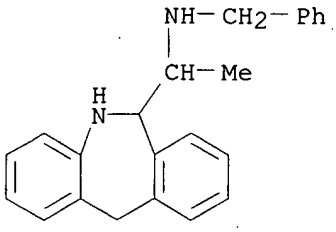
IT 94018-66-3P 94018-67-4P 94018-68-5P
94018-69-6P 94018-73-2P 94019-24-6P
94019-25-7P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 94018-66-3 CAPLUS
CN 5H-Dibenz[b,e]azepine-6-methanamine, 6,11-dihydro-N,α-dimethyl-
(9CI) (CA INDEX NAME)



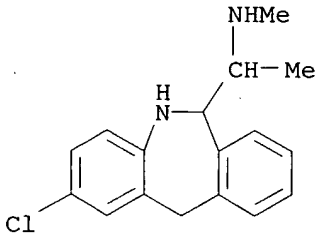
RN 94018-67-4 CAPLUS
CN 5H-Dibenz[b,e]azepine-6-methanamine, N-ethyl-6,11-dihydro-α-methyl-
(9CI) (CA INDEX NAME)



RN 94018-68-5 CAPLUS
CN 5H-Dibenz[b,e]azepine-6-methanamine, 6,11-dihydro-α-methyl-N-
(phenylmethyl)- (9CI) (CA INDEX NAME)

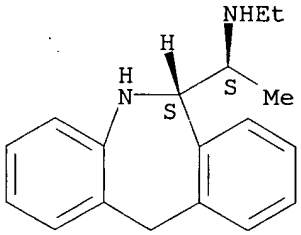


RN 94018-69-6 CAPLUS
CN 5H-Dibenz[b,e]azepine-6-methanamine, 2-chloro-6,11-dihydro-N,α-dimethyl- (9CI) (CA INDEX NAME)



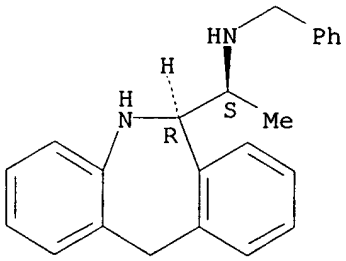
RN 94018-73-2 CAPLUS
CN 5H-Dibenz[b,e]azepine-6-methanamine, N-ethyl-6,11-dihydro-α-methyl-, (R*,R*)- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 94019-24-6 CAPLUS
CN 5H-Dibenz[b,e]azepine-6-methanamine, 6,11-dihydro-α-methyl-N-(phenylmethyl)-, (R*,S*)- (9CI) (CA INDEX NAME)

Relative stereochemistry.

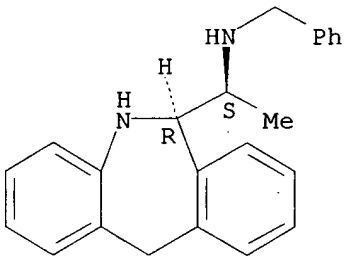


RN 94019-25-7 CAPLUS
CN 5H-Dibenz[b,e]azepine-6-methanamine, 6,11-dihydro-α-methyl-N-(phenylmethyl)-, (αR,6S)-rel-, (2Z)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 94019-24-6
CMF C23 H24 N2

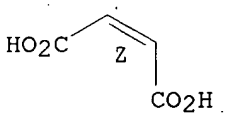
Relative stereochemistry.



CM 2

CRN 110-16-7
CMF C4 H4 O4

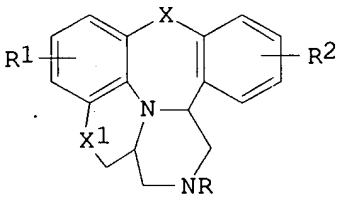
Double bond geometry as shown.



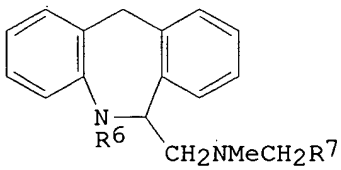
L6 ANSWER 22 OF 33 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1984:139160 CAPLUS
DOCUMENT NUMBER: 100:139160
TITLE: Pentacyclic compounds
INVENTOR(S): Gardner, Derek Victor; White, Trevor John
PATENT ASSIGNEE(S): Beecham Group PLC, UK
SOURCE: Eur. Pat. Appl., 57 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 90552	A2	19831005	EP 1983-301475	19830317
EP 90552	A3	19840425		
R: BE, CH, DE, FR, GB, IT, LI, NL, SE				
AU 8312849	A1	19830929	AU 1983-12849	19830325
ZA 8302145	A	19840530	ZA 1983-2145	19830325
US 4469697	A	19840904	US 1983-479016	19830325
ES 521020	A1	19841001	ES 1983-521020	19830325
JP 58189182	A2	19831104	JP 1983-52279	19830328
PRIORITY APPLN. INFO.:			GB 1982-9087 A	19820327
			GB 1982-9298 A	19820330
			GB 1982-12154 A	19820427

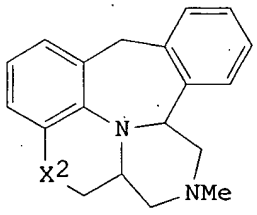
OTHER SOURCE(S): MARPAT 100:139160
GI



I



II

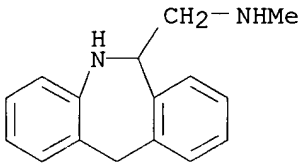


III

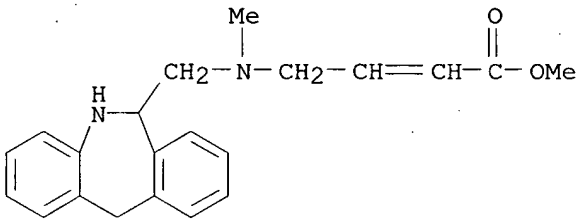
AB Pentacyclic hydroxytryptamine antagonists I [R = H, cycloalkyl, cycloalkenyl, (un)substituted alkyl; R1, R2 = H, halogen, OH, alkyl, alkoxy, F3C; X = CH2, O, S, NR3; R3 = H, alkyl; X1 = NR4CH2, NR4CO, CH2NR5, CONR5; R4, R5 = H, alkyl, acyl] were prepared Thus, dibenzoazepine II (R6 = H, R7 = CH:CHCO2Me) was cyclized to give pyrazino[1,2-f]morphanthridine II (R6R7 = CHCH2CO2Me). The last was demethylated and cyclized to give diazabenzo[g,h]pleiadenone III (X2 = CO), which was treated with NH2OH to give III (X2 = C:NOH). Beckmann rearrangement of III (X2 = C:NOH) gave III (X2 = NHCO). III (X2 = NHCO) inhibited

5-methoxy-N,N-dimethyltryptamine with an ED50 of 3.0 mg/kg orally in mice.

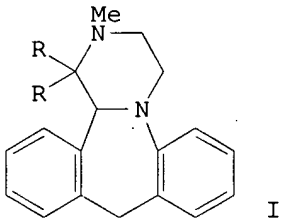
IT 21535-45-5
RL: RCT (Reactant); RACT (Reactant or reagent)
(alkylation of)
RN 21535-45-5 CAPLUS
CN 5H-Dibenz[b,e]azepine-6-methanamine, 6,11-dihydro-N-methyl- (9CI) (CA INDEX NAME)



IT 83581-21-9P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and cyclization of, pyrazinomorphanthridine by)
RN 83581-21-9 CAPLUS
CN 2-Butenoic acid, 4-[[(6,11-dihydro-5H-dibenz[b,e]azepin-6-yl)methyl]methylamino]-, methyl ester (9CI) (CA INDEX NAME)



L8 ANSWER 23 OF 33 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1984:34501 CAPLUS
DOCUMENT NUMBER: 100:34501
TITLE: Syntheses and NMR analyses of deuterated mianserins
AUTHOR(S): Kaspersen, Frans M.; Favier, J. S.; Wagenaars, Gerard; Wallaart, Jan; Funke, Carel W.
CORPORATE SOURCE: Sci. Dev. Group, Organon Int. B.V., Oss, 5340 BH, Neth.
SOURCE: Recueil: Journal of the Royal Netherlands Chemical Society (1983), 102(10), 457-60
CODEN: RJRSDK; ISSN: 0165-0513
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



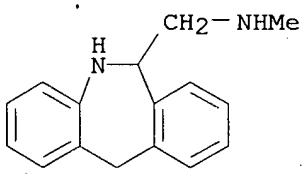
I

AB Eleven deuterated analogs of mianserin (I, R = H) were prepared and analyzed by 1H and 13C NMR to elucidate the 1H-NMR spectrum of mianserin. Thus, I (R2 = O) was reduced with LiAlD4 to give I (R = D).

IT 21535-45-5
RL: RCT (Reactant); RACT (Reactant or reagent)
(cyclization of, with chloroacetic anhydride)

RN 21535-45-5 CAPLUS

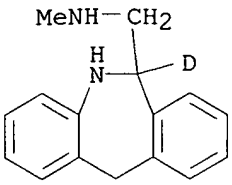
CN 5H-Dibenz[b,e]azepine-6-methanamine, 6,11-dihydro-N-methyl- (9CI) (CA INDEX NAME)



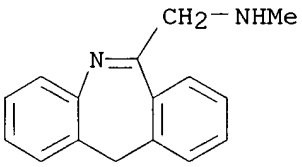
IT 88423-54-5P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and conversion to labeled mianserin)

RN 88423-54-5 CAPLUS

CN 5H-Dibenz[b,e]azepine-6-methanamine, 6,11-dihydro-6-d-N-methyl- (9CI) (CA INDEX NAME)



IT 46880-91-5
RL: RCT (Reactant); RACT (Reactant or reagent)
(reduction of)
RN 46880-91-5 CAPLUS
CN 11H-Dibenz[b,e]azepine-6-methanamine, N-methyl- (9CI) (CA INDEX NAME)



RL: RCT (Reactant); RACT (Reactant or reagent)
(redn. of, with sodium borohydride

L6 ANSWER 24 OF 33 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1983:198233 CAPLUS
DOCUMENT NUMBER: 98:198233
TITLE: Heterocyclic compounds and their use
INVENTOR(S): Walther, Gerhard; Schneider, Claus; Weber, Karl Heinz; Fuegner, Armin
PATENT ASSIGNEE(S): Boehringer Ingelheim K.-G., Fed. Rep. Ger.
SOURCE: Ger. Offen., 24 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 3134672	A1	19830317	DE 1981-3134672	19810902
US 4503060	A	19850305	US 1982-410006	19820820
JP 58046089	A2	19830317	JP 1982-149040	19820827
JP 03080795	B4	19911226		
EP 73506	A1	19830309	EP 1982-107929	19820828
EP 73506	B1	19860219		
R: AT, BE, CH, DE, FR, IT, LI, LU, NL, SE				
AT 18049	E	19860315	AT 1982-107929	19820828
DD 204255	A5	19831123	DD 1982-242882	19820830
CA 1169858	A1	19840626	CA 1982-410412	19820830
FI 8203001	A	19830303	FI 1982-3001	19820831
FI 76089	B	19880531		
FI 76089	C	19880909		
SU 1155158	A3	19850507	SU 1982-3484887	19820831
PL 135812	B1	19851231	PL 1982-238090	19820831
DK 8203911	A	19830303	DK 1982-3911	19820901
DK 160047	B	19910121		
DK 160047	C	19910610		
NO 8202948	A	19830303	NO 1982-2948	19820901
NO 160445	B	19890109		
NO 160445	C	19890419		
GB 2108112	A1	19830511	GB 1982-24915	19820901
GB 2108112	B2	19850109		
ES 515413	A1	19830816	ES 1982-515413	19820901
HU 27656	O	19831028	HU 1982-2808	19820901
HU 185110	B	19841228		
AU 8287926	A1	19840308	AU 1982-87926	19820901
AU 550340	B2	19860320		
ZA 8206380	A	19840530	ZA 1982-6380	19820901
CS 236680	B2	19850515	CS 1982-6355	19820901
IL 66694	A1	19850630	IL 1982-66694	19820901
ES 521604	A1	19840516	ES 1983-521604	19830419
ES 521605	A1	19840516	ES 1983-521605	19830419

PRIORITY APPLN. INFO.: DE 1981-3134672 A 19810902
EP 1982-107929 A 19820828

OTHER SOURCE(S): CASREACT 98:198233
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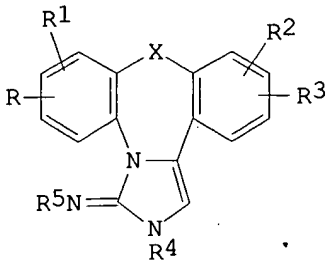
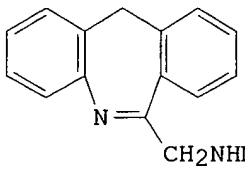


I



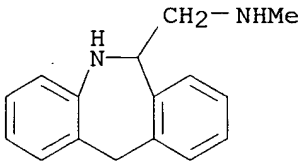
II

AB The title compds. I [R-R3 = H, halo, alkyl, alkoxy; R4 = alkyl, alkenyl, (un)substituted Ph, aralkyl; R5 = H, alkyl, alkenyl; X = CH2, O, S] and their 1,13b-dihydro derivs. were prepared Thus, II was cyclocondensed with BrCN to give 77% I.HBr (R-R3 = R5 = H, R4 = Me; X = CH2)(III). III had ED50 of 1.1 mg/kg orally in rats in the passive cutaneous anaphylaxis test.

IT 21535-45-5 46880-91-5 85777-36-2
85777-37-3 85777-38-4 85777-39-5
85777-40-8
RL: RCT (Reactant); RACT (Reactant or reagent)
(cyclocondensation of, with cyanogen bromide)

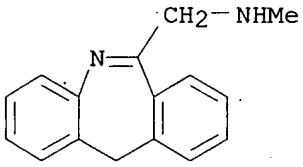
RN 21535-45-5 CAPLUS

CN 5H-Dibenz[b,e]azepine-6-methanamine, 6,11-dihydro-N-methyl- (9CI) (CA INDEX NAME)



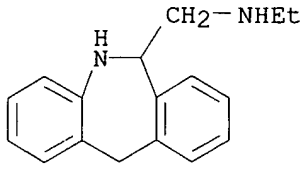
RN 46880-91-5 CAPLUS

CN 11H-Dibenz[b,e]azepine-6-methanamine, N-methyl- (9CI) (CA INDEX NAME)

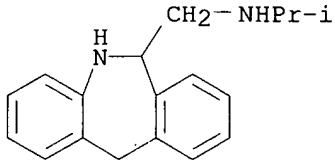


RN 85777-36-2 CAPLUS

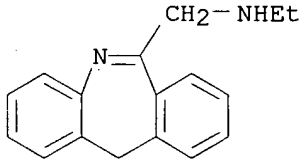
CN 5H-Dibenz[b,e]azepine-6-methanamine, N-ethyl-6,11-dihydro- (9CI) (CA INDEX NAME)



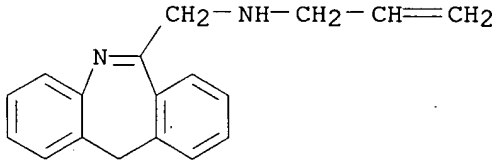
RN 85777-37-3 CAPLUS
CN 5H-Dibenz[b,e]azepine-6-methanamine, 6,11-dihydro-N-(1-methylethyl)- (9CI) (CA INDEX NAME)



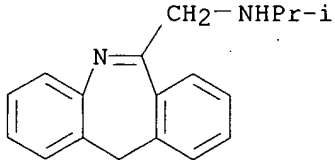
RN 85777-38-4 CAPLUS
CN 11H-Dibenz[b,e]azepine-6-methanamine, N-ethyl- (9CI) (CA INDEX NAME)



RN 85777-39-5 CAPLUS
CN 11H-Dibenz[b,e]azepine-6-methanamine, N-2-propenyl- (9CI) (CA INDEX NAME)



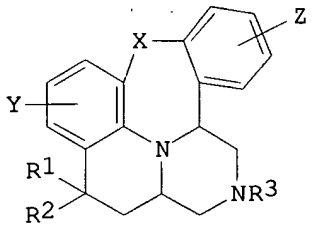
RN 85777-40-8 CAPLUS
CN 11H-Dibenz[b,e]azepine-6-methanamine, N-(1-methylethyl)- (9CI) (CA INDEX NAME)



L6 ANSWER 25 OF 33 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1982:598228 CAPLUS
DOCUMENT NUMBER: 97:198228
TITLE: Pentacyclic compounds and their use
INVENTOR(S): Gardner, Derek Victor
PATENT ASSIGNEE(S): Beecham Group PLC, UK
SOURCE: Eur. Pat. Appl., 54 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 55546	A1	19820707	EP 1981-305861	19811214
EP 55546	B1	19840801		
R: BE, CH, DE, FR, IT, LU, NL, SE				
GB 2091247	A	19820728	GB 1981-37604	19811214
GB 2091247	B2	19840718		
US 4442098	A	19840410	US 1981-332347	19811218
ZA 8108804	A	19821124	ZA 1981-8804	19811221
JP 57134483	A2	19820819	JP 1981-215973	19811230
ES 508465	A1	19831116	ES 1981-508465	19811230
CA 1167439	A1	19840515	CA 1981-393370	19811230
AU 8179131	A1	19820708	AU 1981-79131	19811231
AU 551160	B2	19860417		

PRIORITY APPLN. INFO.: GB 1980-41558 A 19801231
OTHER SOURCE(S): MARPAT 97:198228
GI



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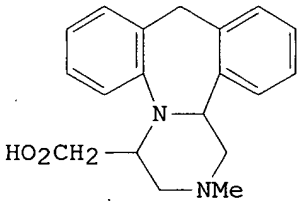
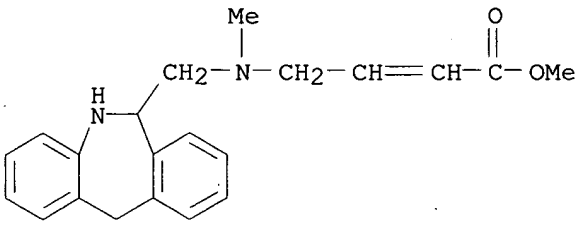


II

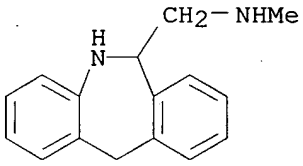
AB Condensed pentacyclic compds. I [R1 = H, alkyl, (un)substituted Ph, phenylalkyl; R2 = H, OH, alkoxy, phenylalkoxy, acyloxy, NR4R5 (R4 = H, R5 = OH, alkoxy, R4R5 = oxapolymethylene), R1R2 = O; R3 = H, alkyl; X = CH2, O, S, NR (R = H, alkyl); Y, Z = H, alkyl, alkoxy, halo, CF3], useful as antidepressants or mild tranquilizers were prepared Thus, 6-methylaminomethyl-5,6-dihydromorphanthridine was treated with BrCH2CH:CHCO2Me to give 65% Me 4-(methylaminomethyl)-5,6-dihydro-6-morphanthridinyl)-2-butenoate which was cyclized and saponified to give II. Subsequent intramol. cyclocondensation gave 45% I (R1R2 = O, R3 = Me, X = CH2, Y = Z = H) which was reduced by LiAlH4 to give I (R1 = OH, R2 = H, X, Y, Z as above) followed by dehydration and hydrogenation to give I (R1R2 = H2, R3, X, Y, Z as above).

IT 83581-21-9P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and intermol. cycloaddn. of)

RN 83581-21-9 CAPLUS
CN 2-Butenoic acid, 4-[[(6,11-dihydro-5H-dibenz[b,e]azepin-6-yl)methyl]methylamino]-, methyl ester (9CI) (CA INDEX NAME)



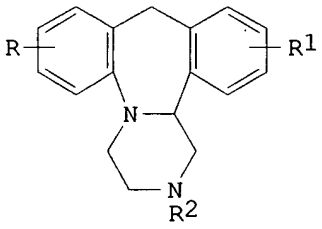
IT 21535-45-5
RL: PROC (Process)
(substitution of, by Me bromocrotonate)
RN 21535-45-5 CAPLUS
CN 5H-Dibenz[b,e]azepine-6-methanamine, 6,11-dihydro-N-methyl- (9CI) (CA INDEX NAME)



L6 ANSWER 26 OF 33 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1982:20122 CAPLUS
DOCUMENT NUMBER: 96:20122
TITLE: Piperazine derivatives
INVENTOR(S): Torres Esteban, Jose Maria; De Mas Rocabayera, Teodoro; Aguila Salomo, Santiago; Blade Font, Arturo
PATENT ASSIGNEE(S): Laboratorios Prem S. A., Spain
SOURCE: Span., 11 pp.
CODEN: SPXXAD
DOCUMENT TYPE: Patent
LANGUAGE: Spanish
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
ES 491364	A1	19810416	ES 1980-491364	19800509
PRIORITY APPLN. INFO.:			ES 1980-491364 A1	19800509

GI



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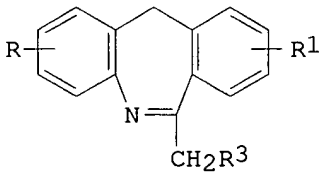


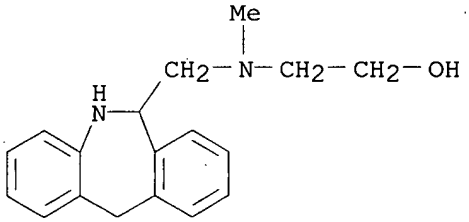
II

AB Pyrazino[1,2-f]morphanthridines I (R, R1 = H, halo, C1-4 alkyl, C1-3 alkoxy; R2 = C1-5 alkyl) and their salts, useful as serotonin antagonists (no data), were prepared by aminating 6-(chloromethyl)morphanthridines (II; R3 = Cl) with R2NHCH2CH2OH, reduction of the N(5)-C(6) double bond in II (R3 = HOCH2CH2NR2), followed by cyclization. Thus, stirring II (R = R1 = H, R3 = Cl) with MeNHCH2CH2OH in CH2Cl2 2 h gave II (R3 = HOCH2CH2NMe) which was reduced by NaBH4 in CH2Cl2-EtOH, and the dihydro derivative cyclized by treatment with Ph3P, Et3N, and CCl4 in MeCN to give I (R = R1 = H, R2 = Me), isolated as the HCl salt.

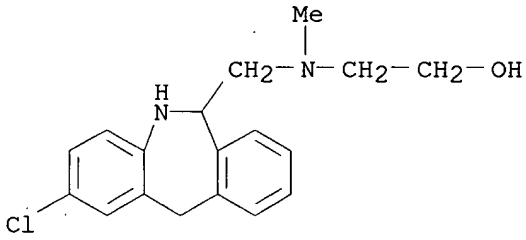
IT 79925-23-8P 79925-26-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and cyclization of)

RN 79925-23-8 CAPLUS

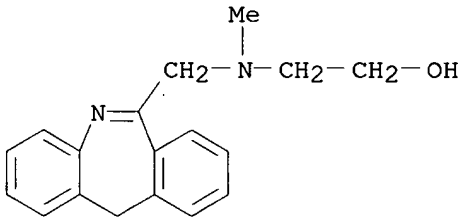
CN Ethanol, 2-[[(6,11-dihydro-5H-dibenz[b,e]azepin-6-yl)methyl]methylamino]- (9CI) (CA INDEX NAME)



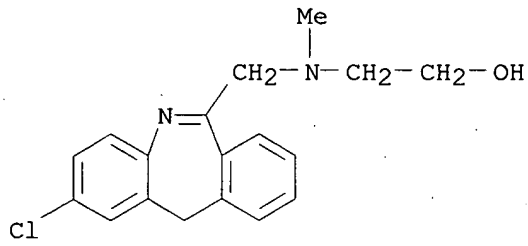
RN 79925-26-1 CAPLUS
CN Ethanol, 2-[[(2-chloro-6,11-dihydro-5H-dibenz[b,e]azepin-6-yl)methyl]methylamino]- (9CI) (CA INDEX NAME)



IT 79925-22-7P 79925-25-0P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reduction of)
RN 79925-22-7 CAPLUS
CN Ethanol, 2-[(11H-dibenz[b,e]azepin-6-yl-methyl)methylamino]- (9CI) (CA INDEX NAME)



RN 79925-25-0 CAPLUS
CN Ethanol, 2-[[(2-chloro-11H-dibenz[b,e]azepin-6-yl)methyl]methylamino]- (9CI) (CA INDEX NAME)

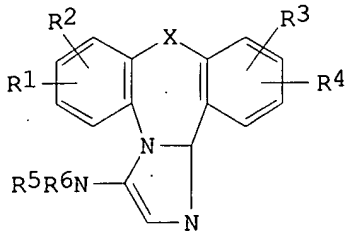

Me
CH2—N—CH2—CH2—OH
N
Cl

L9 ANSWER 27 OF 33 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1982:6777 CAPLUS
DOCUMENT NUMBER: 96:6777
TITLE: Dibenzimidazoazepines and their use
INVENTOR(S): Walther, Gerhard; Schneider, Claus S.; Weber, Karl Heinz; Fuegner, Armin
PATENT ASSIGNEE(S): Boehringer, C. H., Sohn, Fed. Rep. Ger.
SOURCE: Ger. Offen., 36 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 3008944	A1	19810924	DE 1980-3008944	19800308
US 4313931	A	19820202	US 1981-236818	19810223
NO 8100762	A	19810909	NO 1981-762	19810305
NO 162073	B	19890724		
NO 162073	C	19891101		
EP 35749	A1	19810916	EP 1981-101564	19810305
EP 35749	B1	19840606		
R: AT, BE, CH, DE, FR, IT, LU, NL, SE				
JP 56139484	A2	19811030	JP 1981-31903	19810305
JP 03066311	B4	19911016		
DD 156708	C	19820915	DD 1981-228087	19810305
SU 1015829	A3	19830430	SU 1981-3252241	19810305
AT 7788	E	19840615	AT 1981-101564	19810305
DK 8101035	A	19810909	DK 1981-1035	19810306
DK 154299	B	19881031		
DK 154299	C	19890328		
FI 8100712	A	19810909	FI 1981-712	19810306
FI 70898	B	19860718		
FI 70898	C	19861027		
GB 2071095	A	19810916	GB 1981-7114	19810306
GB 2071095	B2	19830602		
AU 8168158	A1	19810917	AU 1981-68158	19810306
AU 535359	B2	19840315		
HU 22956	O	19820728	HU 1981-572	19810306
HU 180628	B	19830328		
ZA 8101500	A	19821124	ZA 1981-1500	19810306
ES 500150	A1	19821201	ES 1981-500150	19810306
CS 221288	P	19830429	CS 1981-1644	19810306
CA 1150253	A1	19830719	CA 1981-372485	19810306
IL 62309	A1	19840629	IL 1981-62309	19810306
PL 132141	B1	19850228	PL 1981-230036	19810306
RO 81652	P	19830429	RO 1981-103617	19810307

PRIORITY APPLN. INFO.: DE 1980-3008944 A 19800308
EP 1981-101564 A 19810305

OTHER SOURCE(S): MARPAT 96:6777
GI



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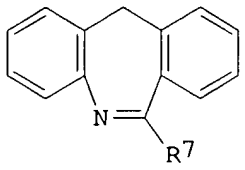


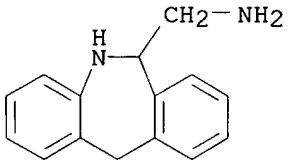
II

AB Dibenzimidazoazepines I (R1-R4 = H, halo, C1-6 alkyl or alkoxy; R5, R6 = H, C1-6 alkyl, C3-6 alkenyl; R5R6N = 1-pyrrolidinyl, piperidino, morpholino; X = O, S, CH2) and their acid addition salts, useful in treating allergies, as antihistamines, blood platelet aggregation inhibitors, and anti-serotonin agents, were prepared Successive cyanation of chlorodibenzazepine II (R7 = Cl) with NaCN (73.2% yield), AlH3 reduction of cyanodibenzazepine II (R7 = cyano) (72.3%), and cyclization of (aminomethyl)dibenzazepine II (R7 = CH2NH2) gave dibenzimidazoazepine I.HBr (R1-R6 = H, X = CH2) (III). The ED50 for passive lung anaphylaxis in rats for III was 0.052 mg/kg i.v.

IT 41218-84-2
RL: RCT (Reactant); RACT (Reactant or reagent)
(cyclization of, with cyanogen bromide or carbon disulfide, or reaction with iso-Pr isocyanate)

RN 41218-84-2 CAPLUS

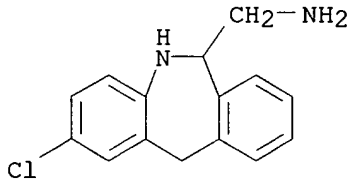
CN 5H-Dibenz[b,e]azepine-6-methanamine, 6,11-dihydro- (9CI) (CA INDEX NAME)



IT 80012-55-1
RL: RCT (Reactant); RACT (Reactant or reagent)
(cyclization of, with cyanogen bromide or dichloromethylenedimethylammonium chloride, dibenzimidazoazepine derivative by)

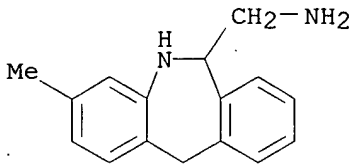
RN 80012-55-1 CAPLUS

CN 5H-Dibenz[b,e]azepine-6-methanamine, 2-chloro-6,11-dihydro- (9CI) (CA INDEX NAME)

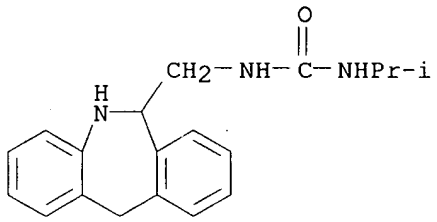


IT 80012-56-2
RL: RCT (Reactant); RACT (Reactant or reagent)
(cyclization of, with cyanogen bromide, dibenzimidazoazepine derivative by)

RN 80012-56-2 CAPLUS
CN 5H-Dibenz[b,e]azepine-6-methanamine, 6,11-dihydro-3-methyl- (9CI) (CA INDEX NAME)



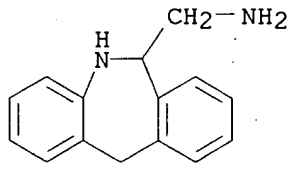
IT 80013-09-8P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and cyclization of, by benzimidazoazepine by)
RN 80013-09-8 CAPLUS
CN Urea, N-[(10,11-dihydro-5H-dibenz[b,e]azepin-11-yl)methyl]-N'-(1-methylethyl)- (9CI) (CA INDEX NAME)



IT 80012-79-9P 80012-80-2P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 80012-79-9 CAPLUS
CN 5H-Dibenz[b,e]azepine-6-methanamine, 6,11-dihydro-, (2E)-2-butenedioate (9CI) (CA INDEX NAME)

CM 1

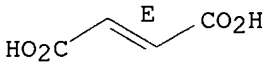
CRN 41218-84-2
CMF C15 H16 N2



CM 2

CRN 110-17-8
CMF C4 H4 O4

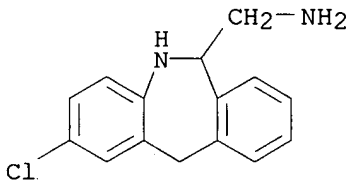
Double bond geometry as shown.



RN 80012-80-2 CAPLUS
CN 5H-Dibenz[b,e]azepine-6-methanamine, 2-chloro-6,11-dihydro-, (2E)-2-butenedioate (9CI) (CA INDEX NAME)

CM 1

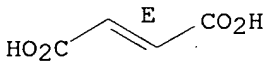
CRN 80012-55-1
CMF C15 H15 Cl N2



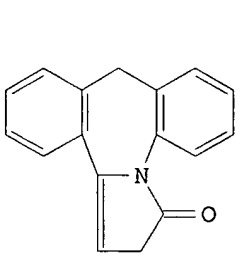
CM 2

CRN 110-17-8
CMF C4 H4 O4

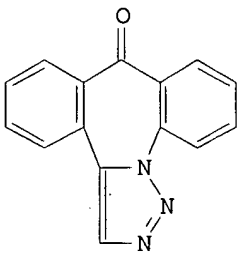
Double bond geometry as shown.



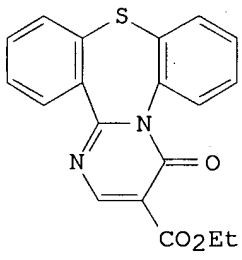
L6 ANSWER 28 OF 33 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1980:532454 CAPLUS
DOCUMENT NUMBER: 93:132454
TITLE: Tetracyclic heterocycles as central nervous system (CNS) agents
AUTHOR(S): Moffett, Robert Bruce
CORPORATE SOURCE: Res. Lab., Upjohn Co., Kalamazoo, MI, 49001, USA
SOURCE: Journal of Heterocyclic Chemistry (1980), 17(2), 341-50
CODEN: JHTCAD; ISSN: 0022-152X
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 93:132454
GI



I



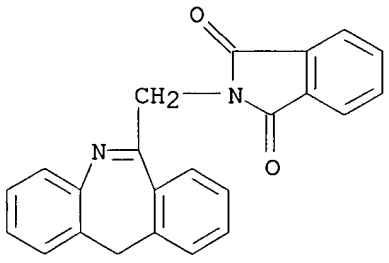
II



III

AB A number of new tri- and tetracyclic heterocycles, e.g. I, II, III, and open chain intermediates were prepared Thus, N-(α-phenyl-o-tolyl)succinimide was cyclized with POCl3 and polyphosphoric acid to give I. None of I showed central nervous system activity greater than that of known analogs.

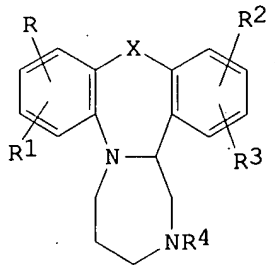
IT 74860-00-7P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 74860-00-7 CAPLUS
CN 1H-Isoindole-1,3(2H)-dione, 2-(11H-dibenz[b,e]azepin-6-ylmethyl)- (9CI) (CA INDEX NAME)



L6 ANSWER 29 OF 33 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1978:509613 CAPLUS
DOCUMENT NUMBER: 89:109613
TITLE: 1,4-Diazepine derivatives
PATENT ASSIGNEE(S): AKZO N. V., Neth.
SOURCE: Neth. Appl., 17 pp.
CODEN: NAXXAN
DOCUMENT TYPE: Patent
LANGUAGE: Dutch
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
NL 7610942	A	19780404	NL 1976-10942	19761002
ZA 7705472	A	19780726	ZA 1977-5472	19770912
AU 7728838	A1	19790322	AU 1977-28838	19770915
AU 511572	B2	19800828		
GB 1567997	A	19800521	GB 1977-38887	19770919
US 4224321	A	19800923	US 1977-835972	19770923
DK 7704242	A	19780403	DK 1977-4242	19770926
DK 142582	B	19801124		
DK 142582	C	19810727		
FI 7702872	A	19780403	FI 1977-2872	19770929
BE 859279	A1	19780330	BE 1977-181377	19770930
SE 7710958	A	19780403	SE 1977-10958	19770930
DE 2744179	A1	19780406	DE 1977-2744179	19770930
FR 2366292	A1	19780428	FR 1977-29483	19770930
FR 2366292	B1	19800411		
JP 53059697	A2	19780529	JP 1977-118534	19770930
CA 1082183	A1	19800722	CA 1977-287866	19770930
HU 19777	O	19810428	HU 1977-A0 452	19770930
HU 177404	P	19811028	HU 1977-AO452	19770930
ES 462838	A1	19780601	ES 1977-462838	19771001

PRIORITY APPLN. INFO.: NL 1976-10942 A 19761002
GI



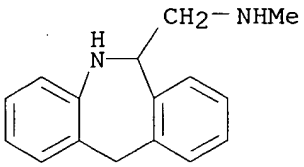
I

AB Antihistaminic and tranquilizing (no data) dibenzazepinodiazepines I (R-R3 = H, OH, alkyl, alkoxy, alkylthio, halogen, CF3; R4 = H, alkyl; X = CH2, O) were prepared Thus, I (X = O, R-R3 = H, R4 = Me) (1.6 g) was obtained by B2H6 reduction of 3.8 g of its 3-oxo derivative
IT 21535-45-5

RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, with dibromopropane)

RN 21535-45-5 CAPLUS

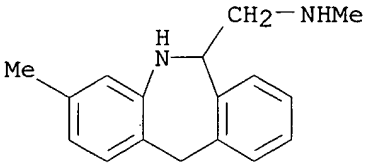
CN 5H-Dibenz[b,e]azepine-6-methanamine, 6,11-dihydro-N-methyl- (9CI) (CA INDEX NAME)



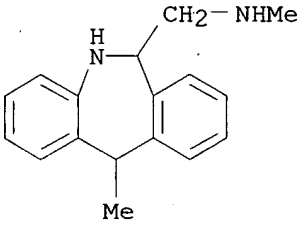
L6 ANSWER 30 OF 33 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1973:405339 CAPLUS
DOCUMENT NUMBER: 79:5339
TITLE: Imidazomorphanthridines, -phenanthridines, and dibenzimidazoazocines
INVENTOR(S): Van der Burg, Willem Jacob
PATENT ASSIGNEE(S): AKZO N.V.
SOURCE: Ger. Offen., 22 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 2248477	A1	19730412	DE 1972-2248477	19721003
NL 7113679	A	19730409	NL 1971-13679	19711005
ZA 7206504	A	19730627	ZA 1972-6504	19720922
US 3850956	A	19741126	US 1972-291188	19720922
GB 1404642	A	19750903	GB 1972-43975	19720922
AU 7247138	A1	19740404	AU 1972-47138	19720927
CA 1001620	A1	19761214	CA 1972-152649	19720927
BE 789410	A2	19730115	BE 1972-122526	19720928
FI 54123	C	19781010	FI 1972-2675	19720928
FR 2158206	A1	19730615	FR 1972-35139	19721004
JP 48044300	A2	19730626	JP 1972-99726	19721004
ES 407319	A1	19760116	ES 1972-407319	19721004
CH 575418	A	19760514	CH 1972-14508	19721004
SE 397354	B	19771031	SE 1972-12778	19721004
DK 136818	B	19771128	DK 1972-4907	19721004
HU 164359	P	19740228	HU 1972-AO344	19721005
AT 323180	B	19750625	AT 1972-8543	19721005

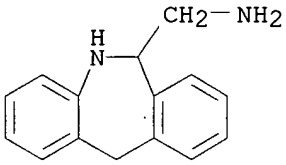
PRIORITY APPLN. INFO.: NL 1971-13679 A 19711005
GI For diagram(s), see printed CA Issue.
AB Fourteen title compds. [I; Q = CH2, CHMe, (CH2)2, CH:CH, or a bond; R = H, Me, Pr, or CH2Ph; R1,R4 = H or Me; R2 = H, Cl, or Me; R3 = H or OMe], useful as antihistaminic and antiserotonic agents, were prepared preferable by condensation of the amines II with CH2Cl2. Thus, Me2SO and Et3N were added to II (Q = CH2, R = Me, R1-R4 = H) in CH2Cl2, and the mixture was refluxed 5 hr to give racemic I (Q = CH2, R = Me, R1-R4 = H). This was resolved into its (+)- and (-)-isomers via salts with (-)- and (+)-dibenzoyltartaric acid, resp.
IT 41218-67-1 41218-74-0 41218-84-2
41218-94-4 41508-70-7
RL: RCT (Reactant); RACT (Reactant or reagent)
(cyclization with methylene chloride)
RN 41218-67-1 CAPLUS
CN 5H-Dibenz[b,e]azepine-6-methanamine, 6,11-dihydro-N,3-dimethyl- (9CI) (CA INDEX NAME)



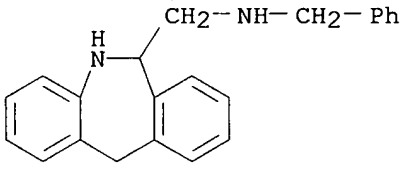
RN 41218-74-0 CAPLUS
CN 5H-Dibenz[b,e]azepine-6-methanamine, 6,11-dihydro-N,11-dimethyl- (9CI) (CA INDEX NAME)



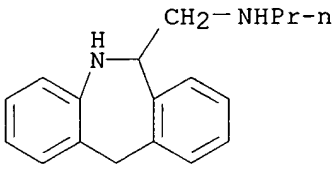
RN 41218-84-2 CAPLUS
CN 5H-Dibenz[b,e]azepine-6-methanamine, 6,11-dihydro- (9CI) (CA INDEX NAME)



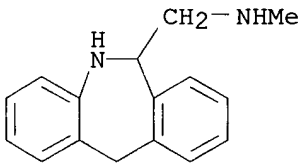
RN 41218-94-4 CAPLUS
CN 5H-Dibenz[b,e]azepine-6-methanamine, 6,11-dihydro-N-(phenylmethyl)- (9CI) (CA INDEX NAME)



RN 41508-70-7 CAPLUS
CN 5H-Dibenz[b,e]azepine-6-methanamine, 6,11-dihydro-N-propyl- (9CI) (CA INDEX NAME)

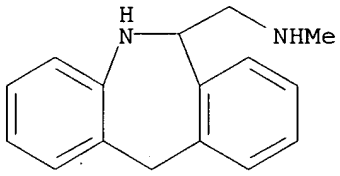


IT 21535-45-5
RL: RCT (Reactant); RACT (Reactant or reagent)
(cyclization with phosgene)
RN 21535-45-5 CAPLUS
CN 5H-Dibenz[b,e]azepine-6-methanamine, 6,11-dihydro-N-methyl- (9CI) (CA INDEX NAME)



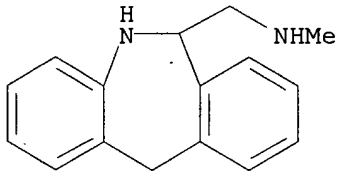
IT 41218-79-5P 41218-80-8P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 41218-79-5 CAPLUS
CN 5H-Dibenz[b,e]azepine-6-methanamine, 6,11-dihydro-N-methyl-, (+)- (9CI) (CA INDEX NAME)

Rotation (+).



RN 41218-80-8 CAPLUS
CN 5H-Dibenz[b,e]azepine-6-methanamine, 6,11-dihydro-N-methyl-, (-)- (9CI) (CA INDEX NAME)

Rotation (-).



L6 ANSWER 31 OF 33 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1973:72243 CAPLUS
DOCUMENT NUMBER: 78:72243
TITLE: Piperazine derivatives
PATENT ASSIGNEE(S): AKZO N. V.
SOURCE: Neth. Appl., 10 pp.
CODEN: NAXXAN
DOCUMENT TYPE: Patent
LANGUAGE: Dutch
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

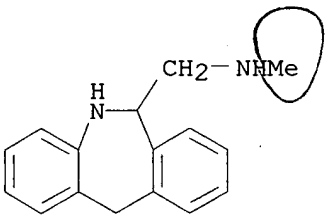
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
NL 7107667		19721206	NL 1971-7667	19710604
AT 317223			AT	
CA 965091			CA	

GI For diagram(s), see printed CA Issue.

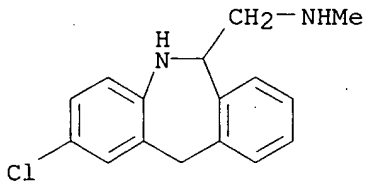
AB Piperazinodibenzoazacycloalkanes I (Q = CH2, R = H, 8-Cl, 8-OMe, R1 = H; Q = O, R = H, 7-Me, R1 = H, Me; Q = direct bond, R = R1 = H) were prepared by cyclizing amines II with BrCH2CH2Br and Et3N. Yields were 36-75% in the absence of solvent and decreased with the use of solvent.

IT 21535-45-5 40132-44-3 40132-45-4
RL: RCT (Reactant); RACT (Reactant or reagent)
(cyclization of, with dibromoethane)

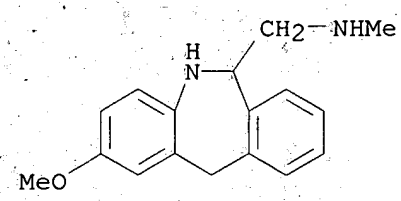
RN 21535-45-5 CAPLUS
CN 5H-Dibenz[b,e]azepine-6-methanamine, 6,11-dihydro-N-methyl- (9CI) (CA INDEX NAME)



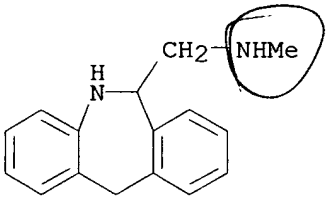
RN 40132-44-3 CAPLUS
CN 5H-Dibenz[b,e]azepine-6-methanamine, 2-chloro-6,11-dihydro-N-methyl- (9CI) (CA INDEX NAME)



RN 40132-45-4 CAPLUS
CN 5H-Dibenz[b,e]azepine-6-methanamine, 6,11-dihydro-2-methoxy-N-methyl- (9CI) (CA INDEX NAME)


CH2—NHMe
H
N
MeO

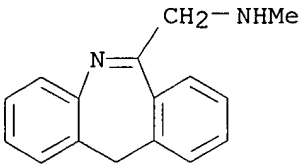
L6 ANSWER 32 OF 33 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1970:43609 CAPLUS
DOCUMENT NUMBER: 72:43609
TITLE: Novel type of substituted piperazine with high antiserotonin potency
AUTHOR(S): Van der Burg, W. J.; Bonta, I. L.; Delobelle, J.; Ramon, C.; Vargaftig, B.
CORPORATE SOURCE: Res. Lab., N. V. Organon, Oss, Neth.
SOURCE: Journal of Medicinal Chemistry (1970), 13(1), 35-9
CODEN: JMCMAR; ISSN: 0022-2623
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 72:43609
GI For diagram(s), see printed CA Issue.
AB Speculation as to the structural relationship between phenbenzamine and cyproheptadine (I) led to the synthesis of a series of tetracyclic compds. containing as a characteristic moiety a condensed piperazine ring resulting from the fixation of the ethylenediamine chain of phenbenzamine, whereas the two benzene nuclei of the latter are linked by a bond or a bridge of one or 2 C atoms. The piperazine ring system was formed by condensation of the respective diamines with diethyl oxalate (Riebsomer reaction), followed by reduction with diborane or LiAlH4. These compds. as well as II were tested pharmacol. and one of them, 2-methyl-1,2,3,4,10,14b-hexahydro-2H-pyrazino[1,2-f]morphanthridine (III), mianserin, proved to have an antiserotonin potency of the same order as I. In animals III was found to have a less pronounced central depressant effect and lower acute toxicity than I.
IT 21535-45-5P 25577-92-8P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 21535-45-5 CAPLUS
CN 5H-Dibenz[b,e]azepine-6-methanamine, 6,11-dihydro-N-methyl- (9CI) (CA INDEX NAME)



RN 25577-92-8 CAPLUS
CN Morphanthridine, 6-[(methylamino)methyl]-, maleate (1:1) (8CI) (CA INDEX NAME)

CM 1

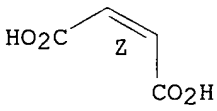
CRN 46880-91-5
CMF C16 H16 N2



CM 2

CRN 110-16-7
CMF C4 H4 O4

Double bond geometry as shown.



L6 ANSWER 33 OF 33 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1969:47499 CAPLUS
DOCUMENT NUMBER: 70:47499
TITLE: Substituted piperazines
PATENT ASSIGNEE(S): Organon N.V.
SOURCE: Neth. Appl., 19 pp.
CODEN: NAXXAN
DOCUMENT TYPE: Patent
LANGUAGE: Dutch
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
NL 6603256	A	19670913	NL 1966-3256	19660312
DE 1695556	B2	19801030	DE 1967-N30139	19670309
DE 1695556	C3	19810625		
DE 1695556	A	19720120		

PRIORITY APPLN. INFO.: NL 1966-3256 A 19660312
OTHER SOURCE(S): MARPAT 70:47499

AB Pyrazinophenanthridines, dibenzopyrazinoazocines and the title compds., are prepared by standard methods and have antiinflammatory, antiserotonin, antihistamine and antiphlogistic activity; the intermediates I have sympathomimetic and appetitereducing properties and spasmolytic activity. Thus, 45 g. PhNHCHPhCH2COR (I, R = OEt) (II) m. 84-5° is added with stirring to 350 ml. 20% MeNH2 in MeOH to yield 87% I(R = NHMe) (III) m. 112-13° (MeOH). To a solution of 12 g. LiAlH4 in 500 ml. anhydrous Et2O is added 24 g. III by Soxhlet extraction and the mixture is refluxed 3 hrs. and worked up to yield 70% PhNHCHPhCH2NHR (IV, R = Me).HCl (V), m. 232°. A mixture of 21.2 g. V and 18.25 ml. (CO2Et)2 is heated 0.5 hr. at 100-60° and kept 0.5 hr. at 160-80° to yield 60% 1,2-diphenyl-4-methyl-5,6-dioxopiperazine (VI), m. 171° (C6H6). A solution of 6 g. VI in 400 ml. anhydrous tetrahydrofuran (THF) is reduced with a stream of diborane in N while the solution is gradually heated to the b.p. The mixture is refluxed 1.5 hrs. and worked up to yield 1,2-diphenyl-4-methylpiperazine.HCl (VII), m. 217°. Similarly prepared are the following: IV(R = H).maleate, m. 158-60°, 1,2-diphenyl-5,6-dioxopiperazine, m. 198-202° [HCONMe2 (DMF)-H2O], and 1,2-diphenylpiperazine.2HCl (VIII), m. 249-54° (EtOH-Et2O). A mixture of 10 g. VIII, 1.9 ml. AcOH, 4.5 ml. 2-vinylpyridine and 12 ml. MeOH is refluxed 16 hrs. to yield 10 g. 1,2-diphenyl-4-(α-pyridylethyl)-piperazine, m. 90-2°; 3 HCl salt, m. 140-5°. A suspension of 120 g. 6-chloromethylphenanthridine(VIIIa), m. 130-4°, in 1700 ml. 12% MeNH2 in C6H6 is kept 18 hrs. in a refrigerator and stirred occasionally to yield 107 g. oily 6-methylamino-methylphenanthridine (IX), which is dissolved in 750 ml. anhydrous Et2O and added with stirring under N to a mixture of 50 g. LiAlH4 and 250 ml. Et2O. The mixture is refluxed 1.5 hrs. to yield 90 g. oily 5,6-dihydro-derivative (X) of (IX). A mixture of 65 g. X and 50 ml. (CO2Et)2 is treated as described for VI to yield 1,2-dioxo-3-methyl - 2,3,4,4a - tetrahydro - 1H -pyrazino[1,2 - f]phenanthridine (XI), m. 227-9° (DMF-PhMe). XI (20.8 g.) is treated as described for VII to yield 16.6 g. 3-methyl-2,3,4,4a-tetrahydro-1H-pyrazino[1,2-f][phenanthridine.HCl (XII), m. 235-40° (decomposition) (MeOH-Et2O). The following XC6H4NHCHPhCH2COR (XIII, R = OET) are prepared (X and m.p. given): p-Cl 79-80°; p-MeO, 45-6°; and VIII (R = NHMe) (X, % yield, and m.p. given): p-Cl, 78, 112-13° (EtOH); p-Br,

86, 144-6° (C6H6); p-MeO, 80, 126-8° (EtOH). The substituted III are converted into the corresponding XC6H4NHCHPhCH2NHMe by the method described before (X, % yield, and m.p. given): p-Cl, 60, 269-72° (H2O); p-Br, 70, 263-6° (DMF- H2O); p-MeO, 70, 198-9° (EtOH). These compds. are converted into the following 1-(substituted)phenyl-2-phenyl-4-methyl-5,6-dioxopiperazines (substituent, % yield, and m.p. given): p-Cl, 55, 179-81° (C6H6); p-Br, 50, 203-4° (C6H6); p-MeO, 75, 189-91° (EtOH). These compds. are converted into the corresponding VII [substituent on 1-phenyl group, m.p. base, and m.p. salt (with X HCl) given]: p-Cl, 102-4° (EtOH-H2O), 2 HCl, 226-9° (EtOH); p-Br, 112-13° (EtOH- H2O), 1 HCl, 250-4° (EtOH); p-MeO, 103-5° (EtOH), 2 HCl, 201-4° (EtOH). Starting with the 2-bromo derivative (XIV) of IX via the 2-bromo derivative of X the 10-bromo derivative (XIa) m. 251-3°, of XI is prepared, which is reduced with NaBH4 to yield the 10-bromo derivative HCl m. 245° (decomposition) of XII. Similarly, 1,2-dioxo-2,3,4,4a-tetrahydro-1H-pyrazino[1,2-f]phenanthridine, m. 265-70° is reduced with LiAlH4 to yield 2,3,4,4a-tetrahydro-1H-pyrazino[1,2-f]phenanthridine (XV). Starting with XIV and ClCH2COCl followed by reaction with α-pyridylethylamine 1-p-methoxyphenyl-2-phenyl-4-(α-pyridylethyl)-3,6-dioxopiperazine, m. 136-7°, is prepared which is reduced with LiAlH4 to yield 1-p-methoxyphenyl-2-phenyl-4-(α-pyridylethyl)-piperazine, m. 97-9°. Similarly is prepared 1-p-chlorophenyl-2-phenyl-4-(dimethylaminoethyl)-3,6-dioxopiperazine.HCl, m. 241°, which is reduced with diborane to yield 1-p-chlorophenyl-2-phenyl-4-(dimethylaminoethyl)piperazine.2HCl, m. 258°. Starting with II 1,2-diphenyl-4-(α-pyridylethyl)-3,6-dioxopiperazine, m. 163-5°, is prepared which is reduced with LiAlH4 to yield 1,2-diphenyl-4-(α-pyridylethyl)piperazine, m. 90-1°. Also are prepared 1-p-chlorophenyl-2-phenylpiperazine.HCl, m. 200°; 1,2-diphenyl-4-phenylmethyl-5,6-dioxopiperazine, m. 154-6°; 1,2-diphenyl-4-phenylmethylpiperazine, m. 214°; and 1-p-chlorophenyl-2-phenyl-4-phenylmethylpiperazine.2HCl, m. 214°. To a mixture of 10 g. 6-aminomethyl-5,6-dihydrophen-anthridine (XVI), 200 ml. anhydrous C6H6 and 4.2 ml. anhydrous C5H5N, cooled to 5-10° is added dropwise with stirring in 20 min. a solution of 8.3 ml. ClCOCH2Ph in 10 ml. C6H6; the mixture is kept 15 min. with stirring at 10° and 45 min. at room temperature to yield 14.5 g. oily (6-(N-benzyloxycarbonyl) derivative (XVII) of XVI. To 14.5 g. XVII, dissolved in 100 ml. C6H6 is added 1 mole C5H5N and dropwise 1.25 mole ClCH2COCl at 10-5° with stirring. The mixture is stirred 30 min. at room temperature and 30 min. at 50°, to yield 85% 5-chloroacetyl derivative of XVII, which is treated 1 hr. in EtOH with H over Pd/C to remove the benzyloxycarbonyl group. After addition of C5H5N and ring closure, the 6-oxopiperazine formed is reduced to yield XV. To a solution of 25 g. 2-benzylaniline in 150 ml. C6H6 is added with stirring at 8° 15 ml. C5H5N and a solution of 15 ml. ClCH2COCl in 25 ml. C6H6 at 10-5°. The mixture is stirred 1 hr. at room temperature and worked up to yield 18 g. 2-PhCH2C6H4NHCH2COCl (XVIII) m. 130-3° (C6H6). A mixture of 40 g. XVIII, 50 ml. POCl3, and 320 g. polyphosphoric acid is heated 2 hrs. at 120° to yield 24 g. 6-chloromethylmorphanthridine (XIX), m. 136-7°. XIX (10 g.) is converted into 11 g. crude 6-methylaminomethylmorphanthri-dine, which is reduced with LiAlH4 to yield 11 g. light yellow oily, 5,6-dihydro derivative (XX). From 10 g. XX and 7 g. (CO2Et)2 via the method used for VI is obtained 9 g. 1,2-dioxo-3-methyl-2,3,4,4a-tetrahydro-1H-pyrazino[1,2-f]morphanthridine, m. 245-7° (DMF), which is reduced with diborane to yield 3-methyl-2,3,4,4a -tetrahydro - 1H - pyrazino[1,2 - f]morphanthridine.HCl, m. 256-66°

(decomposition). A mixture of 10 g. 5H-dibenzo[a,d]-cyclohepten-5-one oxime, 5 ml. SOCl2 and 30 ml. C6H6 is refluxed 16 hrs. to yield 10.5 g. crude 6-chlorodibenz[b,f]azocine (XXI). A mixture of 10 g. XXI, 100 ml. anhydrous DMF and 5 g. NaCN is refluxed 0.5 hr. to yield 6.2 g. 6-cyanodibenz[b,f]-azocine (XXII), m. 135-6° (MeOH). A solution of 6 g. XXII in 80 ml. anhydrous THF is added dropwise with stirring under N to a mixture of 13 g. LiAlH4 in 300 ml. anhydrous THF. The mixture is refluxed 16 hrs. and worked up to yield 6 g. oily 6-aminomethyl-5,6-dihydrodibenz[b,f]azocine (XXII). A mixture of 6 g. XXII and 50 ml. anhydrous HCO2Me (free of HCO2H) is refluxed 2 hrs. to yield 6.3 g. 6-formyl derivative XXIII of XXII. XXIII (5 g.) is reduced with LiAlH4 in THF to yield 4.8 g. 6-methylaminomethyl-5,6-dihydrodibenz[b,f]azocine, which is converted with 3.6 ml. (CO2Et)2 into 2.9 g. 1,2-dioxo-3-methyl-2,3,4,4a-tetrahydro-1H-dibenzo[c,g]pyrazino[1,2-a]azocine (XXIV). From 10 g. XXIV is obtained by reduction with diborane in THF 6.6 g. 3-methyl-2,3,4,4a-tetrahydro-1H-dibenzo[c,g]pyrazino[1,2-a]-azocine.HCl. Starting with 2,4-PhBrC6H3NHCOCl, m. 108-10°, the 2-bromo derivative (XXV), m. 186-8°, of XIIIa is prepared by the method used for XIX and is converted with MeNH2, and then is converted via XIa into oily XII.

IT 21535-45-5P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 21535-45-5 CAPLUS

CN 5H-Dibenz[b,e]azepine-6-methanamine, 6,11-dihydro-N-methyl- (9CI) (CA INDEX NAME)